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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 4	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 5	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 6	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 7	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 8	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 9	JAN 30	Saved answer limit increased
NEWS 10	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS 11	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 12	FEB 22	Status of current WO (PCT) information on STN
NEWS 13	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 14	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 15	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 16	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 17	FEB 28	TOXCENTER reloaded with enhancements
NEWS 18	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 19	MAR 01	INSPEC reloaded and enhanced
NEWS 20	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 21	MAR 08	X.25 communication option no longer available after June 2006
NEWS 22	MAR 22	EMBASE is now updated on a daily basis

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 13:37:20 ON 27 MAR 2006

=> file marpat

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'MARPAT' ENTERED AT 13:37:51 ON 27 MAR 2006
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FILE CONTENT: 1961-PRESENT VOL 144 ISS 10 (20060324/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

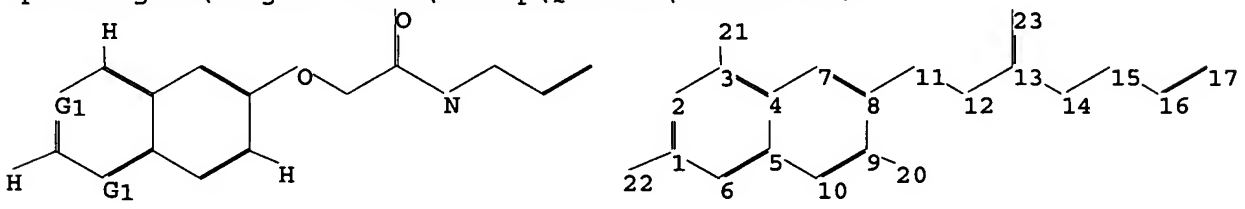
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006035965	16 FEB 2006
DE	102004031947	19 JAN 2006
EP	1614691	11 JAN 2006
JP	2006016369	19 JAN 2006
WO	2006012333	02 FEB 2006
GB	2416167	18 JAN 2006
FR	2873371	27 JAN 2006
RU	2267521	10 JAN 2006
CA	2472818	30 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=>
Uploading C:\Program Files\Stnexp\Queries\10536475.str



chain nodes :
11 12 13 14 16 17 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
15
chain bonds :

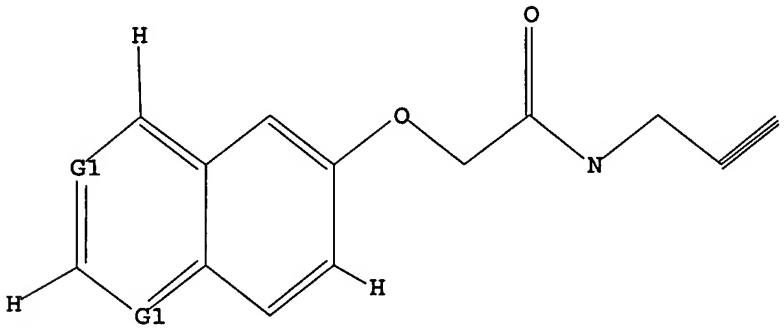
1-22 3-21 8-11 9-20 11-12 12-13 13-14 13-23 14-15 15-16 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 1-22 2-3 3-4 3-21 4-5 4-7 5-6 5-10 7-8 8-9 8-11 9-10 9-20
11-12 12-13 13-14 13-23 14-15 15-16 16-17
isolated ring systems :
containing 1 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 13:38:32 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 58725 TO ITERATE

90.3% PROCESSED 53042 ITERATIONS (5 INCOMPLETE) 163 ANSWERS

97.6% PROCESSED 57344 ITERATIONS (5 INCOMPLETE) 170 ANSWERS

100.0% PROCESSED 58725 ITERATIONS (5 INCOMPLETE) 171 ANSWERS
SEARCH TIME: 00.00.54

L2 171 SEA SSS FUL L1

=> s l2/com
L3 166 L2/COM

=> d ibib 50

L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:39276 MARPAT
TITLE: Preparation of heterocyclecarboxylic acid, benzoic acid, and phenylalkanoic acid derivatives as agonists of peroxisome proliferator-activated receptors (PPAR)
INVENTOR(S): Matsuura, Fumiyoshi; Emori, Eita; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita, Sadakazu; Hihara, Taro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098840	A1	20021212	WO 2002-JP5511	20020604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1394147	A1	20040303	EP 2002-733294	20020604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004214888	A1	20041028	US 2003-479427	20031203
PRIORITY APPLN. INFO.:			JP 2001-168356	20010604
			WO 2002-JP5511	20020604

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/536,475

=> d ibib abs fqhit 50-00

ANSWER NUMBERS NOT CORRECTLY SPECIFIED
Enter an answer number, Example: 10
several answer numbers, Example: 3,7,10
a range of answer numbers, Example: 5-10
or a combination of these. Example: 3,7,9-10,15
ENTER ANSWER NUMBER OR RANGE (1):50-100

L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:39276 MARPAT
TITLE: Preparation of heterocyclecarboxylic acid, benzoic acid, and phenylalkanoic acid derivatives as agonists of peroxisome proliferator-activated receptors (PPAR)
INVENTOR(S): Matsuura, Fumiyoshi; Emori, Eita; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita, Sadakazu; Hihara, Taro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098840	A1	20021212	WO 2002-JP5511	20020604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1394147	A1	20040303	EP 2002-733294	20020604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004214888	A1	20041028	US 2003-479427	20031203
PRIORITY APPLN. INFO.:			JP 2001-168356	20010604
			WO 2002-JP5511	20020604

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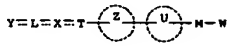

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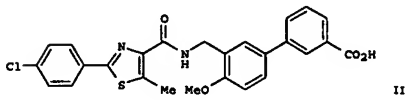
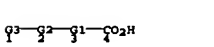


II

L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Novel carboxylic acid derivs. represented by the following general formula
(I) [wherein L, M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = CO2H; each solid line accompanied by a dotted line represents a single or double bond; X = a single bond, O, each N-(un)substituted NHCO-O, NHC(S)-O, O-CONH, O-C(S)NH, CONHO, C(S)NHO, ONHCO, ONHC(S), NHCO, NHC(S), CONH, C(S)NH, NHCONH, NHC(S)NH, NHSO2, or SO2NH, OSO2, SO2O, etc.; Y = 5 to 14-membered aromatic group or C3-7 alicyclic hydrocarbon group each optionally having ≥1 substituents or ≥1 heteroatoms; the ring Z or U = 5 to 14-membered aromatic group optionally having 1-4 substituents or ≥1 heteroatoms wherein a part of the ring is optionally saturated), salts or esters thereof, or hydrates thereof are prepared
These compds. are dual agonists of PPAR α and γ or triple agonists of PPAR α, β(δ), and γ and useful as insulin resistance ameliorants, preventives and/or remedies for diabetes, fragile X syndrome, diabetes complications, hyperlipidemia, obesity, digestive tract diseases, and cancer. The digestive tract (gastrointestinal) diseases include (1) gastrointestinal inflammations such as ulcerative colitis, Crohn's disease, pancreatitis, and gastritis, (2) gastrointestinal proliferative diseases such as gastrointestinal benign tumor, polyp, hereditary polyposis, colon cancer, rectal cancer, and stomach cancer, and (3) gastrointestinal ulcer. They are also preventives and/or remedies for angina pectoris and myocardial infarction and sequelae thereof, senile dementia, and cerebral vascular dementia based on the improvement effects on energy metabolism These compds. are also
useful as hypolipidemics, anti-osteoporosis agents, antiinflammatory agents, and immunomodulators. For example, 3-[4-methoxy-3-[[[[4-methyl-2-(4-chlorophenyl)-1,3-thiazol-5-yl]carbonyl]amino]methyl]phenyl]benzoic acid (II) showed EC50 of <0.0001, 0.176, and 0.711 for the transcription activity of human PPAR in host CV-1 cells transfected with GAL4-PPAR LBD chimera expression vector.

MSTR 1

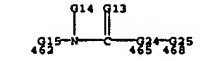


G1 = bond
G3 = 49

G4 = naphthyl
G5 = 51-2 52-50

G10 = 462-51 468-50

L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



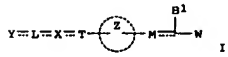
G13 = O
G15 = carbon chain <containing 1-3 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
G24 = alkylene <containing 1 or more C> (opt. substd.)
G25 = O
Patent location: claim 1
Note: and salts, esters or hydrates
Note: substitution is restricted
Note: additional substitution also disclosed
Note: interruptions of Ak in G32 also claimed

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:39105 MARPAT
TITLE: Preparation of phenylpropionic acid and indolylpropionic acid derivatives and salt thereof as dual or triple agonists of peroxisome proliferator-activated receptors (PPAR)
INVENTOR(S): Matsuura, Fumiyoshi; Emori, Eita; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita, Sadakazu; Hihara, Taro; Harada, Hitoshi; Ohashi, Kaya
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 404 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100812	A1	20021219	WO 2002-JP3866	20020418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442319	AA	20021219	CA 2002-2442319	20020418
EP 1380562	A1	20040114	EP 2002-720489	20020418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1503774	A	20040609	CN 2002-808498	20020418
BR 2002009027	A	20050524	BR 2002-9027	20020418
NZ 539708	A	20050930	NZ 2002-539708	20020418
NZ 528655	A	20051223	NZ 2002-528655	20020418
NO 2003004669	A	20031217	NO 2003-4669	20031017
US 2004102634	A1	20040527	US 2003-472543	20031022
PRIORITY APPLN. INFO.:			JP 2001-123346	20010420
			JP 2002-36274	20020214
			WO 2002-JP3866	20020418

GI



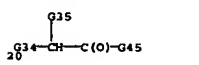
I

AB Carboxylic acid derivs. represented by general formula (I), salts or esters thereof, or hydrates thereof [wherein R1 = H, HO, halo, CO2H, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 hydroxyalkyl, C1-6 hydroxyalkoxy, C1-6 hydroxyalkylthio, C1-6 aminoalkyl, C1-6 aminoalkoxy, C1-6 aminoalkylthio, C1-6 haloalkyl, C1-6 haloalkoxy, C1-6 haloalkylthio, C2-12 alkoxyalkyl, C2-12 alkoxyalkoxy, C2-12 alkoxyalkylthio, C3-7 cycloalkyl, C3-7 cycloalkoxy, etc.; L, M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = CO2H; a solid line accompanied by a dotted line represents a single or double bond; X = a single bond, O, N-(un)substituted NHCQ1O, OCQ1NH, CQ1NHO, ONHCQ1, Q2SO2, SO2Q2, etc., wherein [Q1 = O, S; Q2 = O, (un)substituted NH]; Y = 5 to 14-membered arom. group or C3-7 alicyclic hydrocarbon group optionally having ≥1 heteroatoms and ≥1 substituents; the ring Z = 5 to 14-membered arom. group optionally having 1-4 substituents and ≥1 heteroatoms wherein a part of the ring is optionally satd.] are prepd. These compds. are dual agonists of PPAR α and γ and triple agonists of PPAR α, β(δ), and γ and are useful as ameliorants (improvers) of insulin resistance, hypolipidemics, anti-osteoporosis agents, antiinflammatory agents, immunomodulators, and anticancer agents, and preventives and/or remedies for diabetes, diabetes complications, fragile X syndrome, hyperlipidemia, obesity, and digestive tract (gastrointestinal) diseases. The gastrointestinal diseases include (1) gastrointestinal inflammations such as ulcerative colitis, Crohn's disease, pancreatitis, and gastritis, (2) gastrointestinal proliferative diseases such as gastrointestinal benign tumors, gastrointestinal polyp, familial polyposis syndrome, colon cancer, rectal cancer, and stomach cancer, (3) gastrointestinal ulcers. They are also preventives and/remedies for (1) angina pectoris or myocardial infarction or its after effect of disease (sequelae), (2) senile dementia, and (3) cerebral vascular dementia based on improving energy metab. Thus, 2,4-dichloroiodobenzene was coupled with Et 2-isopropoxy-3-[3-(2-propynyloxy)phenyl]propanoate in the presence of (Ph3P)4Pd, CuI, and Et3N in DMF at room temp. for 2 days followed by hydrolysis with a mixt. of 5 N aq. NaOH and MeOH and acidification with 1 N aq. HCl, 2-isopropoxy-3-[3-[3-(2,4-dichlorophenyl)-2-propynyl]oxyphenyl]propanoic acid (II). II showed EC50 of 0.008, 1.249, and 0.008 nM for increasing the transcription of human PPAR α, β, and γ, resp., in yeast transfected with GAL4-PPAR LBD chimera expression vector.

MSTR 1

G2 = 20

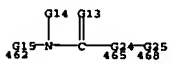
L3 ANSWER 51 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G3 = 49

G4 = naphthyl
G5 = 51-2 52-50

G6 = arylene <containing 6-14 C> (opt. substd.)
G10 = 462-51 468-50



G13 = O
G15 = bond
G24 = alkylene <containing 1 or more C> (opt. substd.)
G25 = O
G34 = carbon chain <containing 1-6 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
Patent location: claim 1
Note: and salts, esters or hydrates
Note: substitution is restricted
Note: additional substitution also disclosed
Note: interruptions of Ak in G32 also claimed

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14180 MARPAT
TITLE: Preparation of peptide-related hydroxyalkylamines for pharmaceutical use in the treatment of Alzheimer's disease
INVENTOR(S): Freskos, John; Aquino, Jose; Brown, David L.; Fang, Larry; Fobian, Yvette M.; Gailunas, Andrea; Guinn, Ashley; Varghese, John; Romero, Arthur Glenn; Tucker, John; Tung, Jay; Walker, Donald
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 360 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098849	A2	20021212	WO 2002-US17698	20020531
WO 2002098849	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 2003166717	A1	20030904	US 2002-160777	20020531
EP 1395551	A2	20040310	EP 2002-741841	20020531
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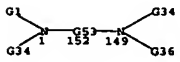
PRIORITY APPLN. INFO.:			US 2001-295332P	20010601
			US 2001-332639P	20011119
			US 2001-343772P	20011228
			WO 2002-US17698	20020531

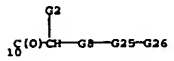
AB Hydroxyalkylamines RNNR20CHR1CH(OH)CR2R3NR20Rc [RN is an acyl group of defined structure; R20 is H, (un)substituted alkyl, alkoxy, alkoxy-, hydroxy-, or haloalkyl, or -R26-R27, where R26 is CO, SO2, CO2, CONH, or alkylcarbamoyl and R27 is (un)substituted alkyl, alkoxy, arylalkyl, heterocycloalkyl, or heteroaryl; R1 is -(CH2)1-2-S(O)0-2-alkyl, (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl, heterocyclyl, etc.; R2, R3 are H or (un)substituted alkyl or CR2R3 is a 3-7 membered carbocycle in which one carbon atom is optionally replaced by O, S, SO2, or NRN-2; Rc is (un)substituted alkyl, (hetero)arylalkyl, heterocyclylalkyl, etc.] were prepared for treating Alzheimer's disease and similar diseases. Synthetic procedures are given in examples and schemes.
Several hundred products of the invention are listed in a table and in the claims, including S-butyl-N-1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-

L3 ANSWER 52 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
ethylbenzyl)amino]-2-hydroxypropyl]-D-cysteinamide.

MSTR 1

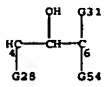


G1 = 10



G2 = 76

G21 = naphthyl (opt. substd.)
G28 = carbon chain <containing 1-10 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)
G53 = 4-1 6-149



Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional oxo substitution and ring formation also
claimed
Note: substitution is restricted

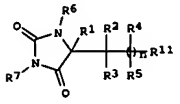
L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14059 MARPAT
TITLE: Preparation of spiro-fused hydantoin derivatives as inhibitors of matrix metalloproteinases
INVENTOR(S): Sheppeck, James E.; Duan, Jingwu; Xue, Chu-Biao; Wasserman, Zelda
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096426	A1	20021205	WO 2002-US16381	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447475	AA	20021205	CA 2002-2447475	20020523
US 2003130273	A1	20030710	US 2002-155575	20020523
US 6890915	B2	20050510		
EP 1397137	A1	20040317	EP 2002-741724	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004535411	T2	20041125	JP 2002-592936	20020523
US 2004209874	A1	20041021	US 2004-844219	20040512
US 6906053	B2	20050614		
US 2005171096	A1	20050804	US 2005-93670	20050330

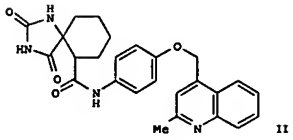
PRIORITY APPLN. INFO.: US 2001-293571P 20010525
US 2002-155575 20020523
WO 2002-US16381 20020523
US 2004-844219 20040512

GI

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



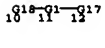
I



II

AB Title compds. I [R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = alkyl, alkenylene, alkynylene; U = absent, amino, CO, alkyl, carboxy, etc.; X = absent, alk(en/yn)ylene; Y = absent, O, amino, SO0-2, CO; Z = (hetero)cycle; Ua = absent, O, amino, CO, alkyl, carboxy, etc.; Xa = absent, alk(en/yn)ylene; Ya = absent, O, amino, SO0-2, CO; Za = (hetero)cycle; R1-2 together with the carbon atoms to which they are attached, combine to form a 3-8 membered carbocyclic or heterocyclic ring; R3 = H, CHF2, CH2F, CF3, alk(en/yn)ylene, etc.; R4-7 = H, alk(en/yn)yl; n = 0-1] were prepared For instance, 2-(ethylcarboxy)cyclohexanone was treated with ammonium carbonate and potassium cyanide (EtOHaq, 50°, 24 h) to afford the corresponding hydantoin ester which was hydrolyzed to the carboxylic acid and coupled to 4-[(2-methyl-4-quinolinyl)methoxy]aniline•2HCl (DMSO, PyBOP) to give II which was isolated as the trifluoroacetate. I are useful as inhibitors of matrix metallproteinases (MMP), TNF-α converting enzyme (TACE), aggrecanase, or a combination thereof.

MSTR 1



G1 = 15-10 16-12

G3 = carbocycle <containing 3-13 C> (opt. substd.)
G4 = 36-15 37-12 / 38-15 39-12 / 56-15 57-12

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G5 = NH (opt. substd.)
G10 = carbon chain <containing 1-10 C,
0 or more double bonds, 0 or more triple bonds>
G11 = O
G14 = 54-38 55-12

G15 = 58-15 59-57

G16 = 63-56 64-12

G17 = naphthyl
G18 = 238

G21 = 311-236 312-11 / 348-236 350-11

G26 = bond
G30 = 346-311 347-11

G32 = carbon chain <containing 1-3 C,
0 or more double bonds, 0 or more triple bonds>
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 9
Note: substitution is restricted
Note: additional ring formation also claimed
Stereochemistry: or stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L3 ANSWER 54 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

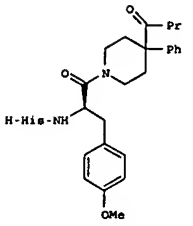
ACCESSION NUMBER: 137:295252 MARPAT
TITLE: Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
INVENTOR(S): Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079146	A2	20021010	WO 2002-US6581	20020302
WO 2002079146	A3	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438272	AA	20021010	CA 2002-2438272	20020302
EP 1363631	A2	20031126	EP 2002-741644	20020302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532838	T2	20041028	JP 2002-577773	20020302
US 2003092732	A1	20030515	US 2002-90582	20020304
US 6979691	B2	20051227		
US 2003096827	A1	20030522	US 2002-90288	20020304
US 6713487	B2	20040330		
US 2004229882	A1	20041118	US 2003-696761	20031029
US 2006025403	A1	20060202	US 2005-199464	20050808

PRIORITY APPLN. INFO.:
US 2001-273206P 20010302
US 2001-273291P 20010302
WO 2002-US6581 20020302
US 2002-90288 20020304
US 2002-90582 20020304

GI

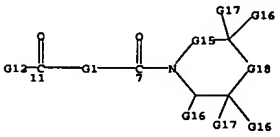
L3 ANSWER 54 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

AB Compds. W-(CH2)y(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, or hexahydro-1-azepinyl; R4, R5 = H, (un)substituted alkyl, halo, hydroxy, amino, aryl, cycloalkyl, heterocyclyl, spirocycloalkyl ring; r, s = 0 or 1; x, y = 0-4; W = amino, carbamoyl, amidino, guanidino, heteroaryl, heterocyclyl, etc.] or their pharmaceutically-acceptable salts or prodrugs were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

MSTR 1A



G1 = 10-11 9-7

G2 = 13-11 14-9

L3 ANSWER 54 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G9 = alkenyl <containing 2-6 C> (opt. substd.)
G12 = 35

G15 = (0-2) 37

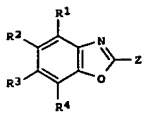
G26 = 71

G30 = naphthyl (opt. substd.)
G33 = alkylene <containing 1 or more C> (opt. substd. by G13)
G38 = bond
Patent location: claim 1
Note: or pharmaceutically acceptable salts, hydrates or prodrugs
Note: additional ring formation and oxo substitution also claimed

L3 ANSWER 55 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:294949 MARPAT
TITLE: Preparation of 2-aminobenzoxazoles and combinatorial libraries thereof
INVENTOR(S): Sutton, Scott C.; Hannah, Amy L.; Chen, Yuewu; Zhu, Shirong
PATENT ASSIGNEE(S): Lion Bioscience AG, Germany
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079753	A2	20021010	WO 2002-US6670	20020328
WO 2002079753	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002161028	A1	20021031	US 2001-819935	20010328
US 6660858	B2	20031209		
PRIORITY APPLN. INFO.:			US 2001-819935	20010328

GI

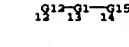


I

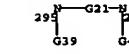
AB Title compds. [I; R1, R4, and 1 of R2, R3 = H, halo, (protected) OH, cyano, (substituted) alkyl, alkenyl, alkynyl, alkoxy, acyloxy, acyl, cycloalkyl, cycloalkenyl, heterocyclyl, phenylalkyl, heterocycloalkyl, Ph, naphthyl, cyclic (hetero)alkylene, (protected) CO2H, CH2OH, amino, alkylamino, carboxamide, alkylthio, alkylsulfonyl, alkylsulfoxide, PhS, PhSO2, CONR11R12, SR11, OR11, CO2R11; R11, R12 = H, (substituted) alkyl, alkenyl, Ph, naphthyl, phenylalkyl, heterocycloalkyl, heteroaryl, heterocycle; the other of R2, R3 = H, halo, (protected) OH, CO2H, SH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, acyloxy, acyl, cycloalkyl, cycloalkenyl, heterocyclyl, phenylalkyl, heterocycloalkyl, Ph, naphthyl,

L3 ANSWER 55 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
cyclic (hetero)alkylene; (protected) CO2H, CH2OH, amino, alkylamino, carboxamide, (substituted) alkylthio, alkylsulfonyl, alkylsulfoxide, PhS, PhSO, PhSO2, CONR11R12, SR11, OR11, CO2R11, SO2NR11R12; Z = specified (cyclic) diamino moieties; with provisos], and combinatorial libraries thereof, are claimed. Several solid phase methodologies for prepn. of I using e.g. Sasrin-CHO resin are described.

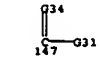
MSTR 1B



G15 = 295-13 297-294



G27 = 147



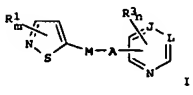
G29 = naphthyl (opt. substd.)
G31 = 214

G34 = O
G40 = alkenyl <containing 2-12 C> (opt. substd.)
Patent location: claim 1
Note: additional ring formation also claimed
Note: or salts
Note: substitution is restricted

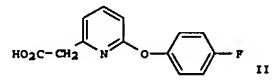
L3 ANSWER 56 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:279181 MARPAT
TITLE: Preparation of azoles and azines having fungicidal, pesticidal and nematicidal properties.
INVENTOR(S): Crowley, Patrick Jelf
PATENT ASSIGNEE(S): Syngenta Limited, UK
SOURCE: Brit. UK Pat. Appl., 52 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2361474	A1	20011024	GB 2001-6313	20010314
PRIORITY APPLN. INFO.:			GB 2000-7245	20000324

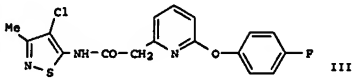
GI



I



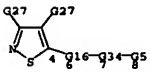
II



III

AB Title compds. I [A = (un)substituted alkylene, alkenylene, alkynylene, etc.; J, L = CR3, N; M = N(R51)C:Y, N:COR52, N:CSR53, etc.; Y = O, S, NR13; R1 = halo, (un)substituted alkyl, alkenyl, etc.; R51 = H, (un)substituted alkyl, alkenylalkyl, etc.; R52, R53 = (un)substituted alkyl, alkenylalkyl, alkynylalkyl, etc.; R3 = halo, CN, (un)substituted alkyl, etc.; R13 = H, OH, CN, etc.; m = 0-2; n = 0-4] were prepared For example, coupling of carboxylic acid II, e.g., prepared from 2-methyl-6-(4-fluorophenoxy)pyridine in 2-steps, and 5-amino-4-chloro-3-methylisothiazole afforded thiazole III. In Chinese cabbage leaves infested with aphids, 6-specific examples of I had mortality scores ranging from 80-100%.

MSTR 1



G2 = alkylene <containing 1-6 C>
G3 = O

L3 ANSWER 56 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G5 = quinolinyl (opt. substd. by 1 or more G28)
G16 = 85-4 86-7

G17 = 88

G18 = alkenyl <containing 3-12 C>
G21 = O
G34 = 9-6 10-8 / 12-6 11-8 / 14-6 15-8

Patent location: claim 1
Note: substitution is restricted

L3 ANSWER 57 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:262850 MARPAT
TITLE: Preparation of arylalkanoic acids and hydroxamic acids as histone deacetylase inhibitors for treatment of cancer, hematological disorders, and genetic related metabolic disorders
INVENTOR(S): Lan-Hargest, Hsuan-yin; Kaufman, Robert J.; Wiech, Norbert L.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

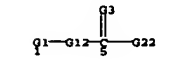
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002143052	A1	20021003	US 2001-812945	20010327
CA 2442366	AA	20021003	CA 2002-2442366	20020325
WO 2002076941	A2	20021003	WO 2002-US8836	20020325
WO 2002076941	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1408946	A2	20040421	EP 2002-719311	20020325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003125306	A1	20030703	US 2002-318225	20021213
US 2005107348	A1	20050519	US 2004-19303	20041223
US 2005171208	A1	20050804	US 2005-59377	20050217

PRIORITY APPLN. INFO.:
US 2001-812940 20010327
US 2001-812944 20010327
US 2001-812945 20010327
US 2001-25947 20011226
WO 2002-US8836 20020325

AB Title compds. AY1LY2C(:X1)X2 (I) [wherein A = (un)substituted (hetero)cycloalkyl, (hetero)cycloalkenyl, (hetero)aryl; or A = (un)substituted hydrocarbon chain interrupted by O, S, NRa, CO, NRaSO2, SO2NRa, NRaCO2, OCONRa, NRaCONRb, OCO, CO2, OSO2, SO2O, or OCO2; Y1 and Y2 = independently CH2, O, S, NRc, NRcCO2, OCONRc, NRcCONRd, OCO2, or a bond; Ra, Rb, Rc, and Rd = independently H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, or haloalkyl; L = (un)substituted straight hydrocarbon chain optionally containing at least one double and/or triple bond; X1 = O or S; X2 = OR1, SR1, NR3OR1, NR3SR1, CO2R1, CHR4OR1, N:NCON(R3)2, or OCHR4OCOR5; R1 and R2 = independently H, (hydroxy)alkyl, haloalkyl, or hydroxy protecting group; R3 = H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, haloalkyl, or amino protecting group; R4 = OH, (hydroxy)alkyl, or haloalkyl; R5 = (hydroxy)alkyl or haloalkyl; provided that when L = Et or Pr and X2 = OR1, then Y1 = a bond and Y2 = a bond; or salts thereof] where prepd. with Zn-binding moieties, such as hydroxamic acid or carboxylic acid groups, for inhibiting histone deacetylation activity in cells. For example, Et (trans)-cinnamate was treated with MeMgI in anhyd. ether to give 4-phenyl-2-methyl-3-buten-2-ol, which was converted to 3-methyl-5-phenyl-2,4-pentadienal using PO3Cl in DMF. Oxidn. of the aldehyde with aq. AgNO3 in EtOH afforded the desired 3-methyl-5-phenyl-2,4-pentadienoic acid (II). Test compds. of the invention showed potent inhibition of histone deacetylase with IC50 values in the low μM range; e.g. two test compds. showed IC50 values of 1.7 μM and 1.9 μM. Histone deacetylase inhibition can repress gene expression, including expression of genes related to tumor suppression. Thus, I provide an alternate route for treating cancer, hematol. disorders, e.g., hemoglobinopathies, and genetic related metabolic disorders, e.g., cystic fibrosis and adrenoleukodystrophy (no data).

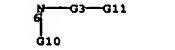
L3 ANSWER 57 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

KSTR 1A



G1 = naphthyl
G3 = O
G10 = alkenyl <containing 2-10 C>
G12 = 38-1 39-5 / 146-1 145-5

G14 = carbon chain <containing 1-12 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G9)
G21 = O
G22 = 6



Patent location: claim 1
Note: additional heteroatom interruptions also claimed

L3 ANSWER 57 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: or salts

L3 ANSWER 58 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:262849 MARPAT
TITLE: Preparation of arylalkanoic acids and hydroxamic acids as histone deacetylase inhibitors for treatment of cancer, hematological disorders, and genetic related metabolic disorders
INVENTOR(S): Lan-Hargest, Hsuan-Yin; Kaufman, Robert J.; Wiech, Nobert L.
PATENT ASSIGNEE(S): Circagen Pharmaceutical, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

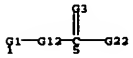
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076941	A2	20021003	WO 2002-US8836	20020325
WO 2002076941	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002143196	A1	20021003	US 2001-812944	20010327
US 6495719	B2	20021217		
US 2002143052	A1	20021003	US 2001-812945	20010327
US 2002143037	A1	20021003	US 2001-25947	20011226
CA 2442366	AA	20021003	CA 2002-2442366	20020325
EP 1408946	A2	20040421	EP 2002-719311	20020325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 2001-812940 20010327
US 2001-812944 20010327
US 2001-812945 20010327
US 2001-25947 20011226
WO 2002-US8836 20020325

AB Title compds. AY1LY2C(:X1)X2 (I) [wherein A = (un)substituted (hetero)cycloalkyl, (hetero)cycloalkenyl, (hetero)aryl; or A = (un)substituted hydrocarbon chain interrupted by O, S, NRa, CO, NRaSO2, SO2NRa, NRaCO2, OCONRa, NRaCONRb, OCO, CO2, OSO2, SO2O, or OCO2; Y1 and Y2 = independently CH2, O, S, NRc, NRcCO2, OCONRc, NRcCONRd, OCO2, or a bond; Ra, Rb, Rc, and Rd = independently H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, or haloalkyl; L = (un)substituted straight hydrocarbon chain optionally containing at least one double and/or triple bond; X1 = O or S; X2 = OR1, SR1, NR3OR1, NR3SR1, CO2R1, CHR4OR1, N:NCON(R3)2, or OCHR4OCOR5; R1 and R2 = independently H, (hydroxy)alkyl, haloalkyl, or hydroxy protecting group; R3 = H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, haloalkyl, or

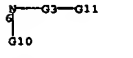
L3 ANSWER 58 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
amino protecting group; R4 = OH, (hydroxy)alkyl, or haloalkyl; R5 = (hydroxy)alkyl or haloalkyl; provided that when L = Et or Pr and X2 = OR1,
then Y1 = a bond and Y2 = a bond; or salts thereof] where prepd. with Zn-binding moieties, such as hydroxamic acid or carboxylic acid groups, for inhibiting histone deacetylation activity in cells. For example, Et (trans)-cinnamate was treated with MeMgI in anhyd. ether to give 4-phenyl-2-methyl-3-buten-2-ol, which was converted to 3-methyl-5-phenyl-2,4-pentadienal using POCl3 in DMF. Oxidn. of the aldehyde with aq. AgNO3 in EtOH afforded the desired 3-methyl-5-phenyl-2,4-pentadienoic acid (II). Test compds. of the invention showed potent inhibition of histone deacetylase with IC50 values in the low μM range; e.g. two test compds. showed IC50 values of 1.7 μM and 1.9 μM. Histone deacetylase inhibition can repress gene expression, including expression of genes related to tumor suppression. Thus, I provide an alternate route for treating cancer, hematol. disorders, e.g., hemoglobinopathies, and genetic related metabolic disorders, e.g., cystic fibrosis and adrenoleukodystrophy (no data).

MSTR 1A



G1 = naphthyl
G3 = O
G10 = alkenyl <containing 2-10 C>
G12 = 38-1 39-5 / 146-1 145-5

G14 = carbon chain <containing 1-12 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd. by 1 or more G9)
G21 = O
G22 = 6



Patent location: claim 1
Note: additional heteroatom interruptions also claimed
Note: or salts
Note: also incorporates claim 91

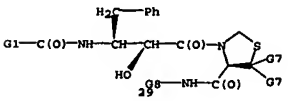
L3 ANSWER 58 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L3 ANSWER 59 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:242144 MARPAT
TITLE: Allophenylnorstatine-based inhibitors of plasmepsins, and use in the treatment of malaria and inhibition of cathepsin D
INVENTOR(S): Freire, Ernesto; Nezami, Azin; Koso, Yoshiaki
PATENT ASSIGNEE(S): The Johns Hopkins University, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074719	A2	20020926	WO 2002-US8024	20020315
WO 2002074719	C1	20030313		
WO 2002074719	A3	20040521		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005037953	A1	20050217	US 2004-471655	20040910
PRIORITY APPLN. INFO.:			US 2001-275713P	20010315
			WO 2002-US8024	20020315

AB Compds. and methods for the inhibition of antimalarial target aspartyl protease plasmepsins (e.g. Plasmepsin I, Plasmepsin II, Plasmepsin IV and HAP) are provided. The compds. are allophenylnorstatine-based derivs. and
may be used to inhibit Plasmepsin II, to kill malarial parasites, and to treat malaria in a patient. Certain of the substituted allophenylnorstatine-based compds. also exhibit inhibitory activity against Cathepsin D.

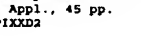
MSTR 1



G1 = 19

L3 ANSWER 59 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G3 = naphthyl
G4 = carbon chain <containing 1-7 C>
(opt. substd. by G5)
G6 = 23

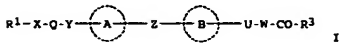


Patent location: claim 4

L3 ANSWER 60 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:109278 MARPAT
TITLE: Preparation of alkanoic acid derivatives as preventives and/or remedies for diabetes, hyperlipidemia, impaired glucose tolerance, and retinoid-related receptor regulators
INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Takakura, Nobuyuki; Odaka, Hiroyuki; Kimura, Hiroyuki; Ito, Tatsuya
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053547	A1	20020711	WO 2001-JP11611	20011228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433573	AA	20020711	CA 2001-2433573	20011228
JP 2002265457	A2	20020918	JP 2001-402099	20011228
EP 1357115	A1	20031029	EP 2001-272544	20011228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004058965	A1	20040325	US 2003-465938	20030626
PRIORITY APPLN. INFO.:			JP 2000-402648	20001228
			WO 2001-JP11611	20011228

GI



I

AB Alkanoic acid derivs. represented by the general formula (I) or salts thereof [wherein R1 = optionally substituted five-membered aromatic heterocyclic group; X = a bond, O, S, CO, C(:S), CR4(OR6), NR6 (wherein R4 = H, optionally substituted hydrocarbyl; R5 = H, hydroxy-protecting group; R6 = H, optionally hydrocarbyl, amino-protecting group); Q = C1-20 divalent hydrocarbon group; Y = bond, O, S, S(:O), SO2, NR7, CONR7, NR7CO, (wherein R7 = H, optionally substituted hydrocarbon group,

L3 ANSWER 60 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G21 = O
G22 = carbon chain <containing 1-20 C>
(opt. substd. by carbocycle <containing 3 or more C>)
G23 = 364

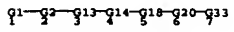
G25 = acyl
G33 = 8

Patent location: claim 1
Note: or salts
Note: substitution is restricted
Note: also incorporates claim 29 and 30

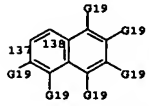
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
amino-protecting group); ; ring A = an arom. ring which may have one to three substituents; Z = (CH2)n-Z1 (wherein n = an integer of 1 to 8; Z1 = O, S, SO, SO2, NR16; wherein R16 = H, optionally substituted hydrocarbon group); ring B = an optionally mono- to tri-substituted pyridine, benzene, or naphthalene ring; U = a bond, O, S, SOP, SO2; W = C1-20 divalent hydrocarbon group; R3; R3 = OH, optionally substituted hydrocarbyloxy, NR9R10 (wherein R9, R10 = H, optionally substituted hydrocarbyl, heterocyclyl, or acyl; or R9 and R10 are linked to each other to form a ring); with the proviso that when B is an optionally mono- to tri-substituted benzene ring, U is a bond] are prepd. Also disclosed are preventives and/or remedies for diabetes, hyperlipidemia, and impaired glucose tolerance, retinoid-related receptor regulators, ligands for peroxisome-proliferator response receptor and retinoid X receptor, insulin resistance improvers contg. the compds. I or salts or prodrugs thereof. Thus, a 40% toluene soln. (1.74 g) of di-Et azodicarboxylate was added dropwise to a mixt. of 3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethanol 0.859, Me 2-(2-hydroxyphenyl)acetate 0.499, Ph3P 0.944, and 15 mL THF at room temp. and stirred for 15 h to give Me 2-[2-[3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethoxy]phenyl]acetate as an oil which was dissolved in MeOH/THF (1/1, 20 mL), treated with 10 mL 1 N aq. NaOH, stirred at room temp. for 15 h, and acidified with 1 N aq. HCl to give 52% 2-[2-[3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethoxy]phenyl]acetic acid (II). When a feed contg. 0.005% II was fed freely to type II diabetic mice for 4 days, the blood sugar and lipid level was lowered by 54 and 96%, resp. A capsule and a tablet formulation contg. 2-[2-ethoxy-5-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]benzyloxy]phenyl]acetic acid Me ester were prepd.

MSTR 1



G18 = 138-4 137-6

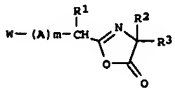


G20 = 360-5 361-7

L3 ANSWER 61 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:369515 MARPAT
TITLE: Preparation of (N-carboxyalkyl)phenylalkylamides and fungicides for agriculture and horticulture
INVENTOR(S): Hiyoshi, Hidetaka; Masuda, Katsumi; Suzuki, Junko; Yonekura, Norihisa; Toshima, Atsushi; Furuse, Katsumi; Yamaji, Koji; Nagayama, Kozo
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002128748	A2	20020509	JP 2000-322195	20001023
PRIORITY APPLN. INFO.:			JP 2000-322195	20001023

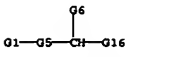
GI



I

AB The compds. WAmCHR1CONHCR2R3COCH2CO2R4 (W = aryl, heteroaryl, indanyl, tetrahydronaphthyl; A = O, S; R1 = H, C1-6 alkyl, C1-4 haloalkyl, C3-6 cycloalkyl, C1-6 alkoxy; R2 = H, C1-6 alkyl, C3-6 cycloalkyl; R3 = C1-6 alkyl, C2-6 alkenyl, C3-6 cycloalkyl , etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl, C1-4 haloalkyl, etc.; m = 0-1) are prepared by reaction of oxazolones I (W, A, R1-R3, m = same as above) with ZCH2Z' (Z = H, carboxyl group, salts of carboxyl group; Z' = CO2R4, salts of carboxyl group; R4 = same as above) in the presence of bases. 2-[1-(4-Chlorophenyl)ethyl]-4-isopropyl-4-methyl-4H-oxazol-5-one (0.8 g) was reacted with 0.73 Et sodium malonate in the presence of NEt3 and MgCl2 at 60° for 5 h to give 0.5 g Et 4-[2-(4-chlorophenyl)propionylamino]-4,5-dimethyl-3-oxohexanoate showing good control of Pyricularia oryzae on rice seedlings.

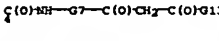
MSTR 1



G1 = 2-naphthyl
G5 = O

L3 ANSWER 61 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G7 = 12

G10 = alkenyl <containing 2-6 C>
G16 = 4



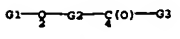
Patent location: claim 1
Note: also incorporates claim 7

L3 ANSWER 62 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:279222 MARPAT
TITLE: Preparation of aminoalkyl aryl ether pharmaceutical fungicides
INVENTOR(S): Coutts, Ian George Cormack; Allcock, Robert William
PATENT ASSIGNEE(S): BTG International Limited, UK
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024619	A1	20020328	WO 2001-GB4264	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087933	A5	20020402	AU 2001-87933	20010925
PRIORITY APPLN. INFO.:			GB 2000-23467	20000925
			WO 2001-GB4264	20010925

AB Aminoalkyl aryl ethers ArOAN(R1)R2 [Ar = bicyclic or tricyclic aromatic group including at least one benzene ring, the oxygen group of the side chain being attached to the benzene ring of Ar; A = C6-16 (un)branched alkylene which may be interrupted by O, S, SO, SO2, NR4, CH(OH), or CO; R4 = H, (un)branched C1-4 alkyl; R1, R2 = H, (un)branched (un)substituted alkyl or alkenyl; e.g., 6,2-BrC10H6[O(CH2)10NHCH3].HCl], useful for the treatment of fungal infections, are prepared

MSTR 4



G1 = naphthyl (substd. by (1) G4)
G2 = alkylene <containing 3-15 C>
G3 = 10

G8 = alkenyl <containing 2-4 C> (opt. substd. by G10)
Patent location: claim 26
Note: the G5 groups contain a total of 3-15 carbon atoms

L3 ANSWER 62 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

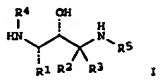
FORMAT

L3 ANSWER 63 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:102190 MARPAT
TITLE: Preparation of substituted amines to treat Alzheimer's disease
INVENTOR(S): Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Preskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 651 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002512	A2	20020110	WO 2001-US21012	20010629
WO 2002002512	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2410651	AA	20020110	CA 2001-2410651	20010629
AU 2001073137	A5	20020114	AU 2001-73137	20010629
US 2002128255	A1	20020912	US 2001-896139	20010629
BR 2001012000	A	20030603	BR 2001-12000	20010629
EP 1353898	A2	20031022	EP 2001-952378	20010629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502669	T2	20040129	JP 2002-507769	20010629
EE 200200716	A	20040816	EE 2002-716	20010629
NZ 522899	A	20050624	NZ 2001-522899	20010629
EP 1586556	A2	20051019	EP 2005-8935	20010629
EP 1586556	A3	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002006199	A	20030221	NO 2002-6199	20021223
PRIORITY APPLN. INFO.:			US 2000-215323P	20000630
			US 2000-252736P	20001122
			US 2000-255956P	20001215
			US 2001-268497P	20010213
			US 2001-279779P	20010329
			US 2001-295589P	20010604
			EP 2001-950719	20010629
			WO 2001-US21012	20010629

GI

L3 ANSWER 63 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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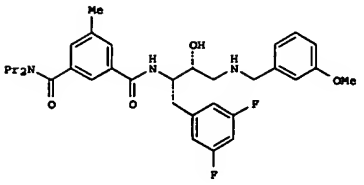
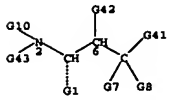


II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 μM against beta-secretase.

MSTR 1



G1 = alkyl <containing 1-6 C> (opt. substd.)
G10 = 405

L3 ANSWER 63 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

C(O)—G34—G33—G12
405

G12 = naphthyl (opt. substd.)
G33 = O
G34 = alkylene <containing 1 or more C> (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation also claimed
Note: substitution is restricted
Note: also incorporates claims 26, 48, 71, 95, 105, 123, and broader disclosure
Stereochemistry: 6-R,S

L3 ANSWER 64 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

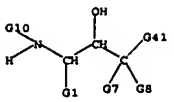
ACCESSION NUMBER: 136:96075 MARPAT
TITLE: Compounds to treat Alzheimer's disease
INVENTOR(S): Fang, Lawrence Y.; John, Varghese
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 434 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002506	A2	20020110	WO 2001-US20930	20010629
WO 2002002506	A3	20020829		
WO 2002002506	C1	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2410972	AA	20020110	CA 2001-2410972	20010629
US 2002016320	A1	20020207	US 2001-896874	20010629
EP 1299352	A2	20030409	EP 2001-952352	20010629
EP 1299352	B1	20051228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011980	A	20030506	BR 2001-11980	20010629
US 2003096864	A1	20030522	US 2001-895871	20010629
JP 2004502665	T2	20040129	JP 2002-507763	20010629
NZ 523005	A	20041126	NZ 2001-523005	20010629
EP 1586556	A2	20051019	EP 2005-8935	20010629
EP 1586556	A3	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 314343	E	20060115	AT 2001-952352	20010629
ZA 2002009991	A	20040503	ZA 2002-9991	20021210
ZA 2003000327	A	20040325	ZA 2003-327	20030113
HK 1055721	A1	20051209	HK 2003-107933	20031104
PRIORITY APPLN. INFO.:			US 2000-215323P	20000630
			EP 2001-950719	20010629
			WO 2001-US20930	20010629

AB The present invention is substituted amines of formula (XV) useful in treating Alzheimer's disease and other similar diseases.

MSTR 1

L3 ANSWER 64 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = alkenyl <containing 2-6 C, 1-2 double bonds> (opt. substd.)
G10 = 405

C(O)—G34—G33—G12
405

G12 = naphthyl (opt. substd.)
G33 = O
G34 = alkylene <containing 1 or more C> (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation also claimed
Note: substitution is restricted
Note: also incorporates claims 31, 41, 46, and 51

L3 ANSWER 65 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:79787 MARPAT
TITLE: Use of potassium channel agonists for reducing fat food consumption
INVENTOR(S): Hansen, John Bondo; Bjenning, Christina
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000223	A1	20020103	WO 2001-DK443	20010625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028808	A1	20020307	US 2001-891981	20010626
US 2002035106	A1	20020321	US 2001-891691	20010626
PRIORITY APPLN. INFO.:			DK 2000-987	20000626
			US 2000-217930P	20000713

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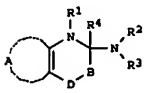
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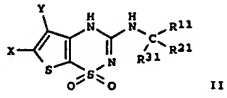
II

AB The present invention relates to the use of potassium channel agonists for
reducing or lowering the consumption of fat food. The present invention also embraces the use of the compds. of general formulas (I) and (II) in reducing or lowering the intake of fat food and methods of using the compds. and their pharmaceutical compns. Diazoxide (30 mg/kg PO) reduced the consumption of a high fat meal (45 kcal% fat) with 53% and a low fat meal (10 kcal% fat) with 42%.

MSTR 1

G7—G12

L3 ANSWER 65 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G12 = 28

G13 = 30

G14 = alkenyl <containing 2-6 C>
(opt. substd. by 1 or more G5)
G15 = 52

G20 = 38

G21 = naphthyl
G26 = alkyl <containing 1-18 C>
(opt. substd. by 1 or more G20)
G27 = O
Patent location: claim 1
Note: or pharmaceutically acceptable acid or base salts, or tautomers
Stereochemistry: or isomers or racemic mixtures

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 66 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:79746 MARPAT
TITLE: Use of potassium channel agonists for the treatment of
cancer
INVENTOR(S): Hansen, John Bondo
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000222	A1	20020103	WO 2001-DK442	20010625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028808	A1	20020307	US 2001-891981	20010626
US 2002035106	A1	20020321	US 2001-891691	20010626
PRIORITY APPLN. INFO.:			DK 2000-987	20000626
			US 2000-217930P	20000713

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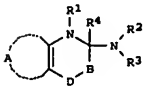
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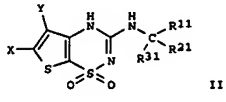

II

AB The present invention relates to the use of potassium channel agonists for
treating cancer, more particular the treatment and/or prevention of breast
cancer and endometrial cancer. The present invention also embraces the use of the compds. of general formulas (I) and (II) in treating cancer and
methods of using the compds. and their pharmaceutical compns.

MSTR 1

G7—G12

G12 = 28

L3 ANSWER 66 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G13 = 30

G14 = alkenyl <containing 2-6 C>
(opt. substd. by 1 or more G5)
G15 = 52

G20 = 38

G21 = naphthyl
G26 = alkyl <containing 1-18 C>
(opt. substd. by 1 or more G20)
G27 = O
Patent location: claim 1
Note: or pharmaceutically acceptable acid or base salts, or tautomers
Stereochemistry: or isomers or racemic mixtures

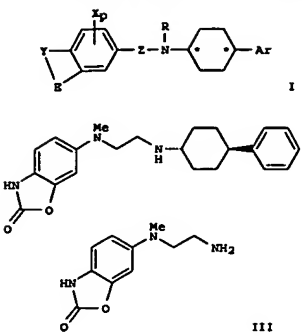
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 67 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:37615 MARPAT
TITLE: Preparation of bicyclic cyclohexylamines and their use as NMDA receptor antagonists
INVENTOR(S): Deorazio, Russell Joseph; Nikam, Sham Shridhar; Scott, Ian Leslie; Sherer, Brian Alan; Wise, Lawrence David
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094321	A1	20011213	WO 2001-US15605	20010514
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407164	AA	20011213	CA 2001-2407164	20010514
AU 2001063130	A5	20011217	AU 2001-63130	20010514
EP 1292581	A1	20030319	EP 2001-937387	20010514
EP 1292581	B1	20050810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535851	T2	20031202	JP 2002-501871	20010514
BR 2001011267	A	20031216	BR 2001-11267	20010514
AT 301642	E	20050815	AT 2001-937387	20010514
ES 2243500	T3	20051201	ES 2001-1937387	20010514
US 2003232810	A1	20031218	US 2002-297263	20021203
US 6683101	B2	20040127		
PRIORITY APPLN. INFO.:			US 2000-209485P	20000606
			WO 2001-US15605	20010514

GI



I

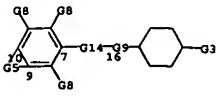
II

III

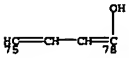
AB Heterocycle-substituted cyclohexylamines I (Ar = (un)substituted aryl with halo, OH or O-alkyl, SH, CN, NO2, NH-alkyl, OAc or CF3 group or with 5 to 14 atom heteroaryl with 1 to 2 heteroatoms of N, O, or S; E-Y = OC(O)NH, HNC(O)NH, C(O)CH2NH, CH2S(O)NH, SCH2C(O)NH, etc.; X = independently selected from H, halogen, NO2, CN, CF3, etc.; p = 0-2; Z = (CH2)n, CO, S(O) where n = 1-6, etc.; R = H, alkyl, C(O)(ara)alkyl, OH- or NH-alkyl, alkenylalkyl, etc.; * = cis- or trans- isomer) and their pharmaceutically acceptable salts were prepared I are antagonists of NMDA receptor channel complexes useful for treating cerebral vascular disorders such as, for example, cerebral ischemia, cardiac arrest, stroke, and Parkinson's disease. Thus II was prepared in 17% yield from sarcosine Et ester HCl and 5-fluoro-2-nitrophenol via III which reacted with 4-phenylcyclohexanone in 2-propanol, THF, Et3N and NaBH4. In 6-OHDA lesioned rats the min. ED of II required to produce a statistically significant increase in total contraversive rotations compared to rats receiving L-DOPA only was was 1.0 μM .

MSTR 1

L3 ANSWER 67 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

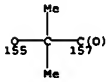


G5 = 75-9 78-10



G9 = 131

G10 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds>
G14 = 155-7 157-16



Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: also incorporates claim 7 , formula (II), claim 13,
formula (III)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 68 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 135:272894 MARPAT
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

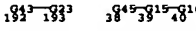
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315
WO 2001070734	A3	20020314		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2400168	AA	20010927	CA 2001-2400168	20010315
AU 2001050850	A5	20011003	AU 2001-50850	20010315
EP 1263756	A2	20021211	EP 2001-924171	20010315
EP 1263756	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
BR 2001009469	A	20030429	BR 2001-9469	20010315
JP 2003528097	T2	20030924	JP 2001-568935	20010315
AT 260272	E	20040315	AT 2001-924171	20010315
NZ 521245	A	20040430	NZ 2001-521245	20010315
ES 2215893	T3	20041016	ES 2001-1924171	20010315
US 2002013341	A1	20020131	US 2001-811116	20010316
US 6495565	B2	20021217		
HK 1049334	A1	20040716	HK 2003-101437	20030226
PRIORITY APPLN. INFO.:			US 2000-190183P	20000317
			US 2000-235467P	20000926
			US 2000-252062P	20001120
			WO 2001-US8336	20010315

AB Novel β-amino acid derivs. A-CRJR4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring), CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me

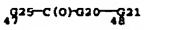
L3 ANSWER 68 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and
3-azetidinecarboxylic acid Me ester.

MSTR 1

G11 = quinolinyl (opt. substd.)
G14 = 192-2 193-31 / 38-2 40-31



G15 = carbocycle <containing 3-13 C> (opt. substd.)
G16 = 47-39 48-31



G20 = carbon chain <containing 1-10 C,
0 or more double bonds, 0 or more triple bonds>
G21 = O
G25 = 226

G43 = 367-2 368-193

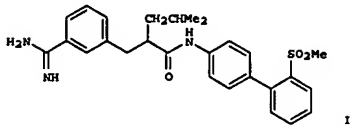
G44 = carbon chain <containing 1-3 C,
0 or more double bonds, 0 or more triple bonds>
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: also incorporates claim 6
Stereochemistry: or stereoisomers

L3 ANSWER 69 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:257042 MARPAT
TITLE: Substituted biphenyl derivatives for treatment of thromboembolic diseases
INVENTOR(S): Jurasyk, Horst; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Barnes, Christopher; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070678	A2	20010927	WO 2001-EP3375	20010323
WO 2001070678	A3	20020404		
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10014645	A1	20010927	DE 2000-10014645	20000324
CA 2403500	AA	20020918	CA 2001-2403500	20010323
EP 1268413	A2	20030102	EP 2001-927797	20010323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2003528077	T2	20030924	JP 2001-568890	20010323
US 2004220241	A1	20041104	US 2003-239397	20030114
US 6946489	B2	20050920		

PRIORITY APPLN. INFO.: DE 2000-10014645 20000324
WO 2001-EP3375 20010323

GI



I

AB Biphenyl derivs. which have factor Xa and VIIa inhibitory effects and can thus be used for the treatment and prevention of thromboemboltic diseases such as thromboses, myocardial infarction, arteriosclerosis, inflammations, apoplexy, angina pectoris, restenosis after angioplasty and intermittent claudication (no data) are reported. Thus, 3-HOC6H4CN was treated with Et 2-bromovalerate, followed by ester hydrolysis and reaction with 2-MeSO2C6H4C6H4NH2-4 to give the amide which was treated with

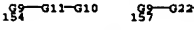
L3 ANSWER 69 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
H2NOH.HCl to give the amidine I.

MSTR 1

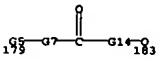
G3 = 164

G7 = 152

G8 = 154 / 157



G9 = alkylene <containing 1 or more C>
(opt. substd. by (1-7) F)
G14 = G15
G15 = (1-3) CH2
G16 = 179-3 183-165



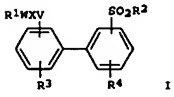
G18 = naphthyl (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and solvates

L3 ANSWER 70 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:210838 MARPAT
TITLE: Preparation of 4-(amidinophenoxyacylamino)biphenyl-2'-sulfonamides and related compounds as Factor Xa and VIIa inhibitors.
INVENTOR(S): Dorsch, Dieter; Jurasyzk, Horst; Mederski, Werner; Tsaklakidis, Christos; Bernotat-Danielowski, Sabine; Melzer, Guido; Gleitz, Johannes; Barnes, Christopher; Vickers, James
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062717	A1	20010830	WO 2001-EP2034	20010222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10008329	A1	20010830	DE 2000-10008329	20000223
CA 2399018	AA	20010830	CA 2001-2399018	20010222
BR 2001008607	A	20021119	BR 2001-8607	20010222
EP 1257530	A1	20021120	EP 2001-927690	20010222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003524651	T2	20030819	JP 2001-561727	20010222
ZA 2002005482	A	20031009	ZA 2002-5482	20020709
US 2003135055	A1	20030717	US 2002-204455	20020821
NO 2002003998	A	20020822	NO 2002-3998	20020822

PRIORITY APPLN. INFO.: DE 2000-10008329 20000223
WO 2001-EP2034 20010222

GI

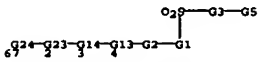


I

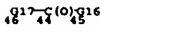
AB Title compds. [I; R1 = (substituted) C(:NH)NH2, NHC(:NH)NH2, etc.; R2 = N(R5)2, NR5COA, NR5COAr, NR5CO2R5; X = O, NR5, CONR5, NSO2Ar, NSO2Het; W = (CR6R7)n, 1,3-phenylene, 1,4-phenylene, etc.; V = [C(R6)2]m; A = (fluoro-substituted) (O- or S-interrupted) alkyl, alkenyl; Ar, Ar1 =

L3 ANSWER 70 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(substituted) Ph, naphthyl; Het = (substituted) mono- or bicyclic heterocyclyl; l = 0-5; m = 0, 1; n = 0-2; R3, R4 = H, A, OR5, N(R5)2, NO2, cyano, halo, etc.; R5 = H, A, C(R6R7)Ar1, C(R6R7)Het; R6, R7 = H, A, (CH2)lAr1], were prepd. for treatment of thrombosis, myocardial infarct, arteriosclerosis, inflammation, apoplexy, angina, restenosis, and intermittent claudication (no data). Thus, 3-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]propionic acid (prepn. given), 2'-tert-butylsulfamoylbiphen-4-ylamine, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 4-methylmorpholine were stirred in DMF to give 3-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]propionic acid 2'-tert-butylsulfamoylbiphen-4-ylamide. This was hydrogenolyzed in MeOH/HOAc over Raney Ni followed by treatment of the product with CF3CO2H and anisole to give 3-(3-carbamimidoylphenyl)propionic acid (2'-sulfamoylbiphenyl-4-yl)amide trifluoroacetate.

MSTR 1



G4 = alkenyl <containing up to 20 C, 1-2 double bonds> (opt. substd. by (1-7) F)
G13 = bond
G14 = 46-2 45-4

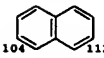


G16 = 42

G17 = 47-2 48-44

G18 = CH2 (opt. substd.)
G23 = 104-67 112-3

L3 ANSWER 70 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



Patent location: claim 1
Note: and pharmaceutically acceptable salts and solvates

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 71 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:137529 MARPAT
TITLE: Preparation of azepine derivatives as VLA-4 antagonists
INVENTOR(S): Ikegami, Satoru; Inoguchi, Kiyoshi; Fukui, Hideto; Sumita, Yuji; Maruyama, Tatsuya; Watanuki, Mitsuru
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055121	A1	20010802	WO 2001-JP521	20010126

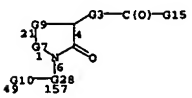
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-20358 20000128
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

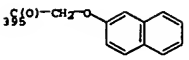
AB Title compds. [I; R1 = H, alkyl, aryl; R2 = H, (CH3)3COCO; R3 = alkylene, divalent aromatic hydrocarbon deriva.; R4 = H, alkyl; X = aromatic hydrocarbon; heterocycle; m = 1, 2, 3; Y = N, O; Z = R8R7R6A1; A1 = CH2, SO2; R6 = alkylene, divalent arylalkane deriva.; R7 = CH2, CO; R8 = alkyl, arylalkyl] and salts are prepared Title compds. or salts of title compds. are used as the active ingredient in remedies having peroral absorbability and exhibiting VLA-4 antagonism. Thus, the title compound II was prepared and biol. tested for VLA-4 antagonism.

MSTR 1



G4 = alkenylene <containing 2-6 C>
G5 = NH

L3 ANSWER 71 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G10 = 395



G28 = 16-49 8-6

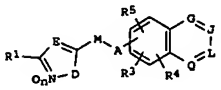
Patent location: claim 1
Note: or salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 72 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:137526 MARPAT
TITLE: Preparation of isothiazolylquinoxalines and related compounds as insecticides, acaricides, nematocides, and molluscicides.
INVENTOR(S): Pilkington, Brian Leslie; Armstrong, Sarah; Barnes, Nigel John; Barnett, Susan Patricia; Clarke, Eric Daniel; Crowley, Patrick Jelf; Fraser, Torquil Eoghan MacLeod; Hughes, David John; Mathews, Christopher John; Salmon, Roger; Smith, Stephen Christopher; Viner, Russell; Whittingham, William Guy; Williams, John; Whittle, Alan John; Mound, William Roderick; Urch, Christopher John
PATENT ASSIGNEE(S): Syngenta Limited, UK; Pilkington, Joan
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055140	A1	20010802	WO 2001-GB308	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2000-2032	20000128

GI

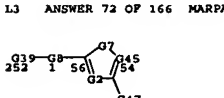


I

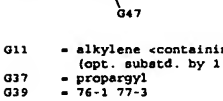
AB Title compds. [I; n = 0, 1; D = S, NR7, CR14:CR15, CR14:N, CR14:N(O), N:CR15, N(O):CR15; E = N, NO, CR2; G, J, L, Q = N, NO, CR6 provided that not all = N or CR6; M = OC(:Y), N:C(OR8), N:PC(SR9), N:C(NR10R11), N(R12)C(:Y); R1 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cyano, NO2, SF5, etc.; R2 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO2, CHO, etc.; or R1R2 = atoms to form 5-7 membered (substituted) (heterocyclic) ring; R3, R4, R5 = H, halo, (substituted) alkyl, alkylcarbonyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO2, etc.; R6 = H, halo, cyano, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, alkoxycarbonyl, CHO, etc.; R7 = alkyl; R8 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, amino, alkylcarbonyl, etc.; R9 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, etc.; R10, R11 = (substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R12 = H, (substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R14, R15 = H, halo, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, alkoxyl, were prepd. Thus, (2,3-dimethylquinoxalin-6-yl)acetic acid (prepn. given) was refluxed with (COCl)2 in ClCH2CH2Cl followed by addn. of 5-amino-4-chloro-3-methylisothiazole in xylene and reflux for 1.5 h to give N-(4-chloro-3-methylisothiazol-5-yl)-(2,3-dimethylquinoxalin-6-yl)acetamide. Several I at 500 ppm gave 80-100% control of Plutella xylostella.

L3 ANSWER 72 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

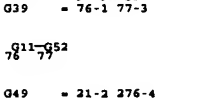
MSTR 1



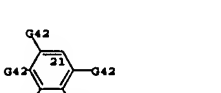
G1 = 121



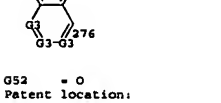
G3 = 379



G8 = 75-56 72-252

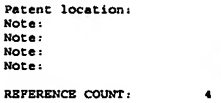


G9 = 171

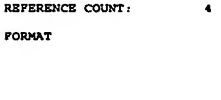


G10 = 252

L3 ANSWER 72 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G11 = alkylene <containing 1-6 C> (opt. substd. by 1 or more G14)
G37 = propargyl
G39 = 76-1 77-3



G49 = 21-2 276-4

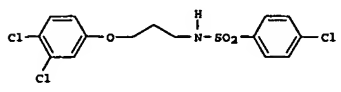
G52 = O
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: and N-oxides
Note: also incorporates claim 9

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 73 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:295624 MARPAT
TITLE: Preparation of benzene derivatives as preventive or therapeutic drugs for diabetes
INVENTOR(S): Yano, Toshisada; Sakaguchi, Isako; Katsuura, Goro
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001024786	A1	20010412	WO 2000-JP2992	20000510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2372715	AA	20010412	CA 2000-2372715	20000510
EP 1190710	A1	20020327	EP 2000-927740	20000510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			JP 1999-132375	19990513
			WO 2000-JP2992	20000510

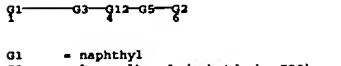
GI



I

AB Title compds. [A(CH2)mX1(CH2)nX2B; A = aryl, heteroaryl; B = alkyl, aryl; X1 = O, S, NR; R = H, alkyl; X2 = NHCO, CONH, NHCONH, SO2, NHSO2; m = 0, 1, 2, 3; n = 2, 3, 4, 5] are prepared and are useful as preventive or therapeutic drugs for diabetes. Thus, the title compound I was prepared and biol. tested.

MSTR 1



G1 = naphthyl
G2 = loweralkenyl (substd. by G20)
G3 = O

L3 ANSWER 73 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G5 = 13-4 14-6

G12 = alkylene <containing 2-5 C, unbranched>
Patent location: claim 1
Note: or prodrugs, pharmaceutically acceptable salts or solvates

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

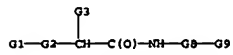
L3 ANSWER 74 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:266304 MARPAT
TITLE: Preparation of heteroaryloxy(thio)alkanecarboxamides and their use as agrochemical fungicides
INVENTOR(S): Masuda, Katsumi; Urushihata, Ikumi; Matsumoto, Katsunori; Yonekura, Norihisa; Kose, Katsumi; Toyoshima, Atsushi; Kumakura, Kazuo; Muramatsu, Norimitsu
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089453	A2	20010403	JP 1999-266612	19990921

PRIORITY APPLN. INFO.: JP 1999-266612 19990921

AB WACHR1CONHCR2R3Q [W = (un)substituted heteroaryl; A = O, S; R1 = H, C1-6 alkyl, C3-6 cycloalkyl; R2 = C1-6 alkyl, C3-6 cycloalkyl; R3 = C2-6 alkyl, C3-6 (un)substituted cycloalkyl, etc.; CR2R3 may form 5- to 7-membered (C1-6 alkyl-substituted) cycloalkyl; Q = ethynyl, cyano, COR4, CHR4OH; R4 = C1-6 alkyl, C1-4 haloalkyl, (un)substituted C3-6 cycloalkyl] are prepared
The heteroaryl compds. show strong long-lasting antifungal activity without harming crops, and also good rain resistance. Thus, condensation of 1-(4-chlorophenyl)-5-hydroxy-3-methylpyrazole with 2-bromo-N-(1-cyano-1,2-dimethylpropyl)propionamide gave 2-[1-(4-chlorophenyl)-3-methylpyrazol-5-yloxy]-N-(1-cyano-1,2-dimethylpropyl)propionamide, which showed 100% antifungal activity against Pyricularia oryzae.

MSTR 1



G1 = isoquinolinyl (opt. subst'd.)
G2 = O
G8 = 9

G9 = ethynyl
Patent location: claim 1

L3 ANSWER 74 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L3 ANSWER 75 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:237397 MARPAT
TITLE: Preparation of alkanoic acid derivatives as novel class of cytodifferentiating agents and histone deacetylase inhibitors, and methods of use thereof
INVENTOR(S): Richon, Victoria M.; Marks, Paul A.; Rifkind, Richard A.; Breslow, Ronald; Belvedere, Sandro; Gershell, Leland; Miller, Thomas A.
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA; Trustees of Columbia University in the City of New York
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001018171	A2	20010315	WO 2000-US23232	20000824
WO 2001018171	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383999	AA	20010315	CA 2000-2383999	20000824
AU 2000069327	A5	20010410	AU 2000-69327	20000824
EP 1231919	A2	20020821	EP 2000-957757	20000824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014254	A	20020827	BR 2000-14254	20000824
US 6511990	B1	20030128	US 2000-645430	20000824
JP 2003509343	T2	20030311	JP 2001-522383	20000824
NZ 517613	A	20040130	NZ 2000-517613	20000824
ZA 2002001544	A	20021010	ZA 2002-1544	20020225
US 2004002506	A1	20040101	US 2002-281875	20021025

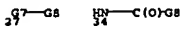
PRIORITY APPLN. INFO.: US 1999-152755P 19990908
US 2000-208688P 20000601
US 2000-645430 20000824
WO 2000-US23232 20000824

AB The present invention provides the compound having formula R1NHCOCH(AR2)(CH2)nCONHOH (wherein each of R1 and R2 is, substituted or unsubstituted, aryl, cycloalkyl, cycloalkylamino, naphtha, pyridineamino, piperidino, tert-Bu, aryloxy, arylalkyloxy, or pyridine group; wherein A is an amido moiety, O, S, NH, or CH2; and wherein n is an integer from 3 to 8). The present invention also provides a method of selectively inducing growth arrest, terminal differentiation and/or apoptosis of neoplastic cells and thereby inhibiting proliferation of such cells. Moreover, the present invention provides a method of treating a patient having a tumor characterized by proliferation of neoplastic cells. Lastly, the present invention provides a pharmaceutical composition comprising
a pharmaceutically acceptable carrier and a therapeutically acceptable amount of the compound above. Thus, N-benzoyl-L-α-aminosuberateanilide,

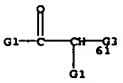
L3 ANSWER 75 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
i.e. PhCO-Asu-NHPh, was condensed with tert-butyldiphenylsilyloxyamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temp. for 12 h, followed by deprotection with 5% CF3CO2H in
CH2Cl2 for 1.5 h to give PhCO-Asu(NHOH)-NHPh (I). I and PhCH2O2C-Asu(NHOH)-NHR (R = quinolin-8-yl) showed activity of murine erythroleukemia cell (MEL) differentiation at 200 and 40 nM, resp., and inhibited histone deacetylase (HDAC) with ID50 of 1 and <10 nM, resp.

MSTR 1

G1 = 27 / 34



G7 = 0
G8 = naphthyl (opt. substd.) /
carbon chain <0 or more double bonds, no triple bonds> (opt. substd.)
G9 = 61



Patent location: claim 1
Note: or pharmaceutically acceptable salts

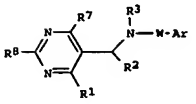
L3 ANSWER 76 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:222728 MARPAT
TITLE: Preparation of pyrimidine derivatives as herbicides
INVENTOR(S): Yasuda, Atsushi; Takabe, Fumiaki; Urushibata, Ikumi; Yamaguchi, Mikio; Yamaji, Yoshihiro; Fujinami, Makoto; Miyazawa, Takeshige
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

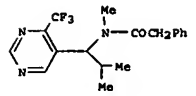
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017975	A1	20010315	WO 2000-JP6165	20000908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384354	AA	20010315	CA 2000-2384354	20000908
EP 1211246	A1	20020605	EP 2000-957066	20000908
EP 1211246	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
ES 2215712	T3	20041016	ES 2000-957066	20000908
US 6806230	B1	20041019	US 2002-70804	20020311
PRIORITY APPLN. INFO.:			JP 1999-255029	19990909
			WO 2000-JP6165	20000908

GI

L3 ANSWER 76 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



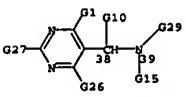
I



II

AB Title pyrimidine derivs. [I; R1 represents hydrogen, alkyl, haloalkyl, etc.; R2 represents alkyl, optionally substituted Ph, etc.; R3 represents hydrogen, alkyl, alkynyl, etc.; R7 represents hydrogen, halogeno, alkyl, etc.; R8 represents hydrogen, alkyl, etc.; W represents C(:Q)Z or SO2 (wherein Q represents O or S; and Z represents O, S, C(R4)R5, NR6, etc. (wherein R4 and R5 represent each hydrogen, alkyl, alkoxy, etc.; and R6 represents hydrogen or alkyl;)); and Ar represents optionally substituted Ph, optionally substituted pyridyl, etc.] which have an excellent herbicidal activity and a selectivity on crops from weeds are prepared and herbicides containing these pyrimidine derivs. as the active ingredient are discussed. Thus, the title compound II was prepared and tested.

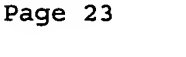
MSTR 1



G10 = alkenyl <containing 2-6 C>
G16 = 94-39 95-41

G17 = O
G18 = 108-94 109-41 / 110-94 111-41

L3 ANSWER 76 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G22 = 112

G23 = O
G25 = naphthyl (opt. substd.)
G29 = 40

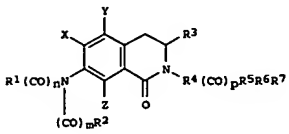
Patent location: claim 1
Note: additional ring formation also claimed
Note: also incorporates claim 6
Note: substitution is restricted

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 77 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:193349 MARPAT
TITLE: Preparation and antimicrobial activities of combinatorial libraries of 4-unsubstituted dihydroisoquinolinone derivatives
INVENTOR(S): Motesharei, Kianoush; Lebl, Michal; Krchnak, Viktor; Ni, Yidong
PATENT ASSIGNEE(S): Trega Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014879	A1	20010301	WO 2000-US20774	20000728
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6452009	B1	20020917	US 1999-378569	19990819
EP 1210598	A1	20020605	EP 2000-955287	20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRIORITY APPLN. INFO.:			US 1999-378569	19990819
			WO 2000-US20774	20000728

GI



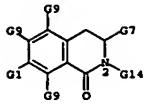
I

AB Dihydroisoquinolinones I [R1, R2 = H, alkyl, alkenyl, Ph, etc.; R3 = H, alkyl, heteroaryl, etc.; R4 = -, DWE and W = -, cycloalkyene, arylene, etc. and D and E = -, alkylene, alkynylene, etc.; R5 = -, O, S, amino; R6 = -, alkylene, alkenylene; R7 = H, halide, OR13, CO2R13, etc.; X, Y, Z = H, halo, OH, cyano, nitro, etc.; m, n, p = 0, 1 and when 0 the absent carbonyl can be replaced with SO2] were prepared Thus, bromoacetic acid was coupled to a resin and the resulting compds. were coupled with 1,4-Boc-NH-CH2-Ph-COOH, deprotected, and reacted with an aldehyde. The resulting compds. were then reacted with 4-nitrohomophthalic acid, reduced with tin chloride, and the compds. were reacted with a carboxylic acid. The resulting compds. were then cleaved and extracted The melanocortin receptor assay and antimicrobial activity of I were investigated.

L3 ANSWER 77 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
claimed

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 77 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
MSTR 1



G1 = 13

G2 = 15

G3 = alkenylcarbonyl <containing 2-12 C> (opt. substd.) / 65

G17 = 306-2 311-47

G25 = 93

G27 = 95

G28 = 2-naphthyl
G36 = bond
G37 = bond
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional substitution and ring formation also

L3 ANSWER 78 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:174246 MARPAT
TITLE: Preparation of pyridine derivative fungicides
INVENTOR(S): Cooke, Tracey; Hardy, David; Moloney, Brian; Thomas, Peter Stanley; Steele, Chris Richard; Briggs, Geoffrey Gower
PATENT ASSIGNEE(S): Aventis CropScience GmbH, Germany
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001011965	A1	20010222	WO 2000-EP8143	20000809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013371	A	20020507	BR 2000-13371	20000809
EP 1204323	A1	20020515	EP 2000-960499	20000809
EP 1204323	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506465	T2	20030218	JP 2001-516328	20000809
AT 270817	E	20040715	AT 2000-960499	20000809
PT 1204323	T	20041130	PT 2000-960499	20000809
ES 2220533	T3	20041216	ES 2000-960499	20000809
US 6821992	B1	20041123	US 2002-49976	20020709
PRIORITY APPLN. INFO.:			GB 1999-19499	19990818
			GB 1999-19500	19990818
			WO 2000-EP8143	20000809

AB The pyridine derivs. A1CR1R2LA2 [A1 = (un)substituted 2-pyridyl or its N-oxide; Y = LA2 or L1A3; A2, A3 = (un)substituted carbocyclyl or heterocyclyl; L = NR5C(:X)NR6, NR5C(:X)CHR3, CHR3NR5CHR4, etc.; L1 = NR9C(:X)X1CHR7, NR9C(:X)CHR7CHR8, etc.; R1-9 = CN, NO2, halo, etc.] are prepared as agrochem. fungicides.

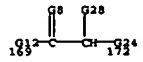
MSTR 1

G8 = O
G12 = 97

L3 ANSWER 78 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G13 = acyl
G21 = 143

G22 = quinolinyl
G23 = 169-2 172-144



G24 = O
Patent location: claim 1
Note: additional ring formation also claimed
Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 79 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:141721 MARPAT
TITLE: N-Substituted glucamine compounds for treating hepatitis virus infections
INVENTOR(S): Mueller, Richard A.; Bryant, Martin L.; Partis, Richard A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

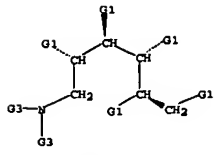
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008672	A2	20010208	WO 2000-US3816	20000214
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362785	AA	20010208	CA 2000-2362785	20000214
EP 1173161	A2	20020123	EP 2000-917640	20000214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6515028	B1	20030204	US 2000-503865	20000214
JP 2003505501	T2	20030212	JP 2001-513402	20000214
US 2003195229	A1	20031016	US 2002-322045	20021217
US 6747149	B2	20040608		

PRIORITY APPLN. INFO.:
US 1999-119836P 19990212
US 1999-119858P 19990212
US 2000-503865 20000214
WO 2000-US3816 20000214

AB N-Substituted glucamine compds. (Markush included) are effective in treatment of hepatitis infections, including hepatitis B and hepatitis C. In treating hepatitis infections, the compds. of the invention may be used alone or in combination with another antiviral agent selected from nucleosides, nucleotides, immunomodulators, immunostimulants, or various combinations of such other agents. Preparation of e.g. 1,5-(butylimino)-1,5-dideoxy-D-glucitol tetraacetate is described.

RSTR 1

L3 ANSWER 79 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G3 = 26

G5 = naphthyl (opt. substd.)
G12 = alkenyl <containing 2-20 C> (opt. substd. by G16) / alkyl <containing 1-20 C> (opt. substd. by 1 or more G13)
G13 = 28

Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional ring formation also claimed

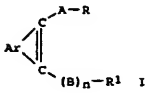
L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:56689 MARPAT
TITLE: Preparation of pyrazinyl phenoxyethyl ethers as 5-HT2C receptor modulators
INVENTOR(S): Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias
PATENT ASSIGNEE(S): Pharmacia & Upjohn AB, Swed.
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
WO 2000076984	A3	20010208		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2374898	AA	20001221	CA 2000-2374898	20000519
EP 1178973	A2	20020213	EP 2000-931877	20000519
EP 1178973	B1	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
BR 2000010783	A	20020409	BR 2000-10783	20000519
JP 2003502317	T2	20030121	JP 2001-503842	20000519
NZ 515786	A	20040130	NZ 2000-515786	20000519
AU 777276	B2	20041007	AU 2000-49690	20000519
AT 313535	E	20060115	AT 2000-931877	20000519
ZA 2001009571	A	20021120	ZA 2001-9571	20011120
NO 2001005686	A	20020115	NO 2001-5686	20011121
AU 2004202227	A1	20040617	AU 2004-202227	20040524

PRIORITY APPLN. INFO.:
SE 1999-1884 19990521
US 1999-137527P 19990603
AU 2000-49690 20000519
WO 2000-SE1017 20000519

GI

L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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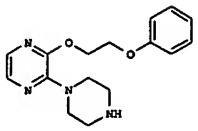
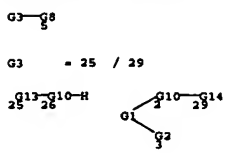


II

AB The title compds. (I) [wherein Ar = (un)substituted (hetero)aryl; A = O, S, SO2, NH, alkyl- or acyl-substituted N, or (un)saturated, (un)substituted (hetero)alkylene chain which may contain a bridge to form a ring; B = CR4R5, OCR4R5, NR6CR4R5, NR6O, S, or SO2; R = (un)substituted cycloalkyl or (hetero)aryl; R1 = (un)saturated (amino)azacyclic or saturated (amino)diazacyclic, (amino)azabicyclic, or diazabicyclic ring, or (CR4R5)xNR2aR3a; n = 0-1; R2a and R3a = independently H, Me, or Et, or taken together with the N to which they are bound form a pyrrolidine, piperazine, or morpholine ring; R4, R5, and R6 = independently H or alkyl; x = 2-4] and their pharmaceutically acceptable salts were prepared and tested as 5-HT2C receptor modulators. Examples include 235 syntheses, a tablet formulation, and pharmacol. tests. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In an affinity assay using membranes prepared from a transfected HEK293 cell line stably expressing the 5-HT2C receptor protein, I typically exhibited 5HT2C receptor affinity values (Ki) ranging from 1 nM to 1500 nM. Specific values ranging from 5 nM to 377 nM were reported for 12 compds. Agonist efficacy at the 5-HT2C receptor for I were determined by the ability of the compds. to mobilize intracellular Ca in transfected HEK293 cells, and typical maximum responses of the agonists were in the range of 20-100% relative to the maximum response of 5-HT (serotonin) at a concentration of 1 μM. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body weight I are useful for the treatment of serotonin-related disorders, such as eating disorders, especially obesity, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

MSTR 3

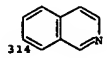
L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G4 = 27

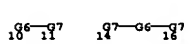
G5 = carbon chain <containing 1 or more C>
G6 = O
G7 = 17

G8 = 314

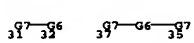


G10 = 6

G13 = 10-5 11-26 / 14-5 16-26



G14 = 31-2 32-5 / 37-2 35-5



G16 = carbon chain <containing 1-5 C>
Patent location: claim 57

L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: additional derivatization also claimed
Note: substitution is restricted

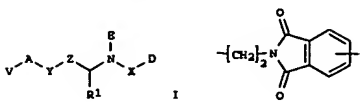
L3 ANSWER 81 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:4764 MARPAT
TITLE: Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists
INVENTOR(S): Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry Kenneth; Lau, Jesper; Madsen, Peter; Sams, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thoger; Lundt, Behrend Frederik; Sidelmann, Ulla Grove; Thogersen, Henning
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 564 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069810	A1	20001123	WO 2000-DK264	20000516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6503949	B1	20000516	US 2000-572553	20000516
CA 2373892	AA	20001123	CA 2000-2373892	20000516
EP 1183229	A1	20020306	EP 2000-926725	20000516
EP 1183229	B1	20051026		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010651	A	20020319	BR 2000-10651	20000516
JP 2002544254	T2	20021224	JP 2000-618228	20000516
AT 307798	E	20051115	AT 2000-926725	20000516
ZA 2001008560	A	20020613	ZA 2001-8560	20011018
NO 2001005607	A	20020117	NO 2001-5607	20011116
US 2003220350	A1	20031127	US 2002-233851	20020830
US 6875760	B2	20050405		
US 2005203108	A1	20050915	US 2004-980199	20041103

PRIORITY APPLN. INFO.:
DK 1999-684 19990517
DK 2000-478 20000321
US 1999-134415P 19990517
US 2000-191685P 20000323
US 2000-572553 20000516
WO 2000-DK264 20000516
US 2002-233851 20020830

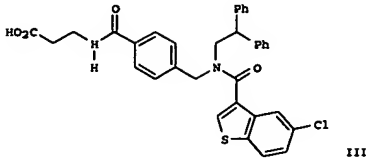
GI

L3 ANSWER 81 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

II

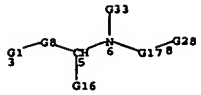


III

AB The title compds. [I; V = CO2R2, CONR2R3, CONR2OR3, etc. (wherein R2, R3 = H, alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0-1; n = 0-3; R7 = H, alkyl, (cycloalkyl)alkyl; R8, R9 = H, alkyl); Y = CO, SO2, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms selected from N, O and S; or AYZ together = II; R1 = H, alkyl; X = CO(CR13R14)r(CH2)s, SO2(CR13R14)r(CH2)s, CO2(CR13R14)r(CH2)s, etc. (r = 0-1; s = 0-3; R13, R14 = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.) which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

MSTR 1A

L3 ANSWER 81 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G17 = 218-6 220-8

G26 = alkylene <containing 1 or more C>
G28 = naphthyl (opt. substd.)
G33 = 791

G36 = alkenylene <containing 2 or more C, 1 double bond>
Patent location: claim 1
Note: additional ring formation also claimed
Note: or tautomers, or pharmaceutically acceptable salts
Stereochemistry: and isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 82 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 133:335167 MARPAT
TITLE: Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.
INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064888	A1	20001102	WO 2000-US11833	20000428
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2370250	AA	20001102	CA 2000-2370250	20000428
EP 1177187	A1	20020206	EP 2000-928698	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010605	A	20020213	BR 2000-10605	20000428
EE 200100556	A	20030217	EE 2001-556	20000428
NZ 515086	A	20031031	NZ 2000-515086	20000428
AU 781266	B2	20050512	AU 2000-46895	20000428
RU 2267484	C2	20060110	RU 2001-132080	20000428
US 6635655	B1	20031021	US 2000-662649	20000914
NO 2001005075	A	20011123	NO 2001-5075	20011018
ZA 2001008798	A	20030305	ZA 2001-8798	20011024
HR 2001000795	A1	20030228	HR 2001-795	20011026
PRIORITY APPLN. INFO.:			US 1999-1314555P	19990428
			WO 2000-US11833	20000428

AB Ar1(CR1R2)aA(CR3R4)bAr2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkenyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclyl, etc.; A = O, S, SO, SO2, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH2CH2; Z = R2102C, R210C, cycloimide, cyano, R2102SHNCO, R2102SHN, (R21)2NCO, R210-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8 = (CH2)qX; q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxycarbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prepared as agonists or antagonists of the PPAR

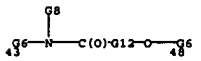
L3 ANSWER 82 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPU/THF at 0° was treated with NaH and then with Me 2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me 2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

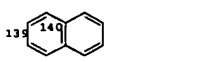
MSTR 1

G2 = 2-1 3-4

G3 = 43-1 48-3



G6 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.)
G12 = alkylene <containing 1 or more C> (opt. substd.)
G14 = 139-2 140-4



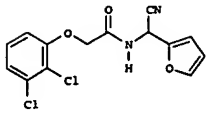
Patent location: claim 1
Note: additional ring formation and substitution also claimed
Note: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 83 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:177091 MARPAT
TITLE: Preparation of N-(cyanoheteroarylmethyl)acetamides and analogs as cathepsin L and/or cathepsin S inhibitors
INVENTOR(S): Tucker, Howard; Large, Michael Stewart; Oldfield, John; Johnstone, Craig; Edwards, Philip Neil
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000049007	A1	20000824	WO 2000-GB532	20000216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1155010	A1	20011121	EP 2000-903848	20000216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537293	T2	20021105	JP 2000-599747	20000216
PRIORITY APPLN. INFO.:			GB 1999-3857	19990220
			GB 1999-16098	19990710
			WO 2000-GB532	20000216

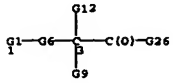
GI



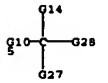
II

AB RZCR1R2CONR3CR4R5CN [I; R = cycloalkyl, heterocyclyl, (un)substituted Ph, -heteroaryl, etc.; R1 = H or alkyl(thio); R2,R3,R5 = H or alkyl; R4 = H, alkyl, alkoxy(carbonyl), (hetero)aryl, etc.; Z = O, SO0-2, (alkyl)imino, etc.] were prepared Thus, furfural was condensed with NH4Cl/NaCN and the product amidated by 2,3-Cl2C6H3OCH2CO2H to give title compound II. Data for biol. activity of I were given.

L3 ANSWER 83 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
MSTR 1



G1 = naphthyl (opt. substd. by 1 or more G2)
G6 = O
G10 = NH
G14 = alkenyl <containing 2-6 C>
G26 = 5



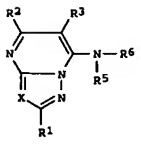
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 13

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 84 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:135324 MARPAT
TITLE: Preparation of 7-aminopyrazolo[1,5-a]pyrimidine and 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivatives as fat accumulation inhibitory agents
INVENTOR(S): Ohtsubo, Tsuguteru; Murakami, Hiroko
PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan; Sumitomo Pharmaceuticals Company, Limited
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044754	A1	20000803	WO 2000-JP462	20000128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359041	AA	20000803	CA 2000-2359041	20000128
EP 1149835	A1	20011031	EP 2000-901971	20000128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			JP 1999-22357	19990129
			WO 2000-JP462	20000128

GI

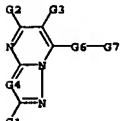


I

AB Aminopyrimidine derivs. represented by general formula (I; wherein R1 represents hydrogen, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; R2 and R3 represent each hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; or R2 and R3 are combined together to represents C3-10 alkylene; R5 represents hydrogen, (un)substituted alkyl or alkenyl; R6 represents C1-12 alkyl, (un)substituted C2-12 alkenyl, acyl, etc.; and X represents nitrogen,

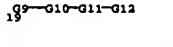
L3 ANSWER 84 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
wherein R4 represents hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, or aralkyl) are prepd. Theses compds. inhibit fat accumulation in fat cells and, therefore, are efficacious in preventing and treating various diseases in assocn. with enlargement of fat tissues, e.g. obesity, diabetes, and hyperlipidemia. Thus, 7-chloro-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidine and 2-(2,4-dimethylphenoxy)ethylamine were stirred with Et3N in toluene at 100° for 3 h to give N-[2-(2,4-dimethylphenoxy)ethyl]-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidin-7-amine (II). II and 5,6-dimethyl-N-{2-[4-(1-methyl-1-phenylethyl)phenoxy]ethyl}-1,2,4-triazolo[1,5-a]pyrimidin-7-amine inhibited accumulation of fat mesenteric fat tissue by 51 and 83%, resp.

MSTR 1



G6 = 17

G7 = 19



G8 = alkenyl <containing 2-12 C> (opt. substd.)
G9 = C(O)
G10 = alkylene <containing 1-12 C> (opt. substd.)
G11 = O
G12 = naphthyl
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 85 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:120325 MARPAT
TITLE: Preparation of aromatic heterocyclic ureas as antiinflammatory agents
INVENTOR(S): Cirillo, Pier F.; Gilmore, Thomas A.; Hickey, Eugene R.; Regan, John R.; Zhang, Lin-Rua
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043384	A1	20000727	WO 1999-US29165	19991209
W: AE, AU, BG, BR, BY, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, VN, YU, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2352524	AA	20000727	CA 1999-2352524	19991209
EP 1147104	A1	20011024	EP 1999-960668	19991209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916930	A	20011030	BR 1999-16930	19991209
EE 200100376	A	20021015	EE 2001-376	19991209
EE 4527	B1	20050815		
JP 2003535023	T2	20031125	JP 2000-594800	19991209
RU 2220142	C2	20031227	RU 2001-122111	19991209
AU 770581	B2	20040226	AU 2000-17522	19991209
NZ 513525	A	20040528	NZ 1999-513525	19991209
TR 200102072	T2	20041221	TR 2001-200102072	19991209
TW 546297	B	20030811	TW 2000-89100638	20000117
US 6333325	B1	20011225	US 2001-871559	20010531
ZA 2001004656	A	20030210	ZA 2001-4656	20010607
US 6329415	B1	20011211	US 2001-891579	20010626
US 2002065285	A1	20020530	US 2001-891820	20010626
US 6506748	B2	20030114		
BG 105653	A	20020131	BG 2001-105653	20010627
HR 2001000516	A1	20020831	HR 2001-516	20010710
NO 2001003559	A	20010718	NO 2001-3559	20010718
PRIORITY APPLN. INFO.:			US 1999-116400P	19990119
			WO 1999-US29165	19991209
			US 2000-484638	20000118

GI

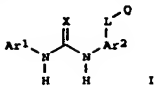
G6 = carbon chain <containing 1 or more C>
(opt. substd. by 1 or more G19)
G8 = O / NH
G19 = OH / 552

G26 = 2

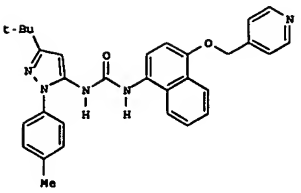
G47 = carbon chain <containing 1 or more C>
(opt. substd. by 1 or more halo)
Derivative: and physiologically acceptable acids or salts
Patent location: claim 1
Note: additional derivatization and ring formation also claimed
Note: also incorporates claim 20
Note: substitution is restricted

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 85 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



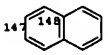
II

AB The title compds. [I; Ar1 = (un)substituted pyrrole, pyrrolidine, pyrazole, etc.; Ar2 = (un)substituted Ph, naphthyl, quinoline, etc.; L = (un)saturated (un)substituted carbon chain wherein one or more methylene groups are optionally replaced by O, N, or S; Q = (un)substituted Ph, naphthyl, pyridinyl, etc.], useful in pharmaceutic compns. for treating diseases or pathol. conditions involving inflammation such as chronic inflammatory diseases, were prepared E.g., a multi-step synthesis of the urea II was given. Representative compds. I were evaluated and showed IC50 of < 10 μM against TNF production in THP cells.

MSTR 1

G1—G26

G4 = 148-4 147-6



G5 = 70

L3 ANSWER 86 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:4595 MARPAT
TITLE: Preparation of N-pyrrolidinylmethylalkanoamides and analogs as CCR-3 receptor antagonists
INVENTOR(S): Rogers, Daniel Harry; Saunders, John; Williams, John Patrick
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19955794	A1	20000531	DE 1999-19955794	19991119
CA 2350903	AA	20000602	CA 1999-2350903	19991111
WO 2000031032	A1	20000602	WO 1999-EP8665	19991111
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9915520	A	20010717	BR 1999-15520	19991111
EP 1131288	A1	20010912	EP 1999-972623	19991111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101398	T2	20010921	TR 2001-200101398	19991111
JP 2002530374	T2	20020917	JP 2000-583860	19991111
JP 3593037	B2	20041124		
AU 763960	B2	20030807	AU 2000-13825	19991111
GB 2343893	A1	20000524	GB 1999-27227	19991117
GB 2343893	B2	20020109		
FR 2786185	A1	20000526	FR 1999-14495	19991118
US 6166015	A	20001226	US 1999-442656	19991118
ES 2158814	A1	20010901	ES 1999-2547	19991119
ES 2158814	B1	20020316		
IT 1307900	B1	20011119	IT 1999-TO1009	19991119
ZA 2001003942	A	20020815	ZA 2001-3942	20010515
NO 2001002411	A	20010516	NO 2001-2411	20010516
PRIORITY APPLN. INFO.:			US 1998-109297P	19981120
			WO 1999-EP8665	19991111

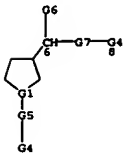
GI

I

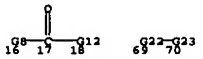
AB Title compds. [I; R4 = CHR1Z1Z2R2; R1 = H or alkyl; R2 = (hetero)aryl; Z =

L3 ANSWER 86 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
NZ3R3 or N+RZ3R3 X-; R = (un)substituted alkyl; R3 = (hetero)aryl; X- = pharmaceutically acceptable anion; Z1 = (un)substituted NHCO and Z2 = (heteroatom-interrupted)(oxo)alkylene, etc.; Z1 = (un)substituted NHCONH, -NHSO2, -NHCO2, etc. and Z2 = bond, (heteroatom-interrupted)(oxo)alkylene, alkenylene, alkynylene] were prepd. Thus, I (R4 = CH2NHR5, Z = NCH2C6H3Cl2-2,3)(II; R5 = H) was amidated by 3-[4-(4-methoxyphenyl)-2-pyrimidinyl]propionic acid (prepn. each given) to give II [R5 = COCH2CH2Z2C6H4(OMe)-4, Z2 = pyrimidine-2,5-diyl]. Data for biol. activity of I were given.

MSTR 1



G4 = naphthyl (opt. substd. by (1-2) G30)
G7 = 16-6 18-8 / 69-6 70-8



G8 = 20

G9 = acyl
G12 = 26-17 27-8

G13 = alkylene <containing 1-3 C>
G14 = O
G23 = 103-69 104-8

L3 ANSWER 86 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

Derivative: and prodrugs and pharmaceutically acceptable salts
Patent location: claim 1
Stereochemistry: and isomers and mixtures of isomers

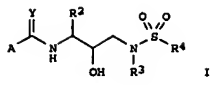
L3 ANSWER 87 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:322147 MARPAT
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
PATENT ASSIGNEE(S): G.D.Searle and Co., USA
SOURCE: U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060476	A	20000509	US 1994-204827	19940302
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
US 5968942	A	19991019	US 1994-294468	19940823
US 6455581	B1	20020924	US 1995-451090	19950525
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330
US 2005267171	A1	20051201	US 2005-110943	20050421
PRIORITY APPLN. INFO.:			US 1992-934984	19920825
			WO 1993-US7814	19930824

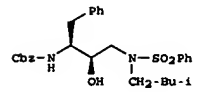
L3 ANSWER 87 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

	EP 1993-923714	19930824
	US 1993-110911	19930824
	US 1994-204827	19940302
	US 1994-294468	19940823
	WO 1994-US9139	19940823
	US 1995-451090	19950525
	US 1999-288080	19990408
	US 2001-798255	20010305
	US 2002-157019	20020530
	US 2002-199481	20020722
	US 2003-633376	20030804

GI



I

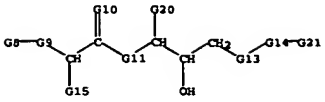


II

AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepared as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared and assayed for HIV inhibitory activity (IC50 = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC50, EC50 and TD50 values at the nanomolar level are tabulated).

MSTR 2

L3 ANSWER 87 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = alkylcarbonyl <containing 1-10 C> (substd. by 29)

G2 = naphthyl
G8 = 2

G9 = bond
G15 = alkenyl <containing 2-18 C>
Derivative: or pharmaceutically acceptable salts, prodrugs, or esters
Patent location: disclosure

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:265504 MARPAT
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John
J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

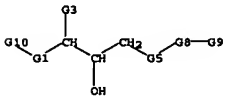
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6046190	A	20000404	US 1996-586866	19960124
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
US 1992-934984 19920825
WO 1993-US7814 19930824
US 1994-204872 19940302
WO 1994-US9139 19940823
EP 1993-923714 19930824
US 1993-110911 19930824
US 1994-204827 19940302

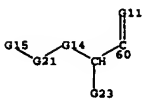
AB Hydroxyethylamino sulfonamide compds.
R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I; R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)saturated heterocycle, (un)substituted aromatic heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepd. as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepd. by (1) prepg. an N-protected amino epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G10 = 60



G14 = bond
G15 = alkylcarbonyl <containing 1-10 C> (substd. by 42)

G16 = naphthyl
G21 = NH
G23 = alkenyl <containing 2-18 C>
Derivative: or pharmaceutically acceptable salts, prodrugs, or esters
Patent location: claim 1
Note: additional ring formation also claimed
Note: substitution is restricted
Note: also incorporates claim 10 and broader disclosure

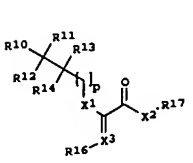
L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 89 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:107776 MARPAT
TITLE: Preparation of aryl vinyl ether derivatives as herbicides
INVENTOR(S): Ray, Nicholas Charles; White, Catherine Jacqueline; Gingell, Michael; Pettit, Simon Neil; Raphy, Gilles
PATENT ASSIGNEE(S): Rhone-Poulenc Agriculture Ltd., UK
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000003975	A2	20000127	WO 1999-EP5470	19990716
WO 2000003975	A3	20000803		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9954158	A1	20000207	AU 1999-54158	19990716
EP 1097117	A2	20010509	EP 1999-940084	19990716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002520384	T2	20020709	JP 2000-560084	19990716

PRIORITY APPLN. INFO.: GB 1998-15508 19980716
GB 1998-16783 19980731
GB 1998-26903 19981207
WO 1999-EP5470 19990716

GI



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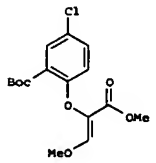


II

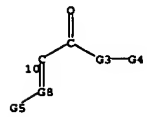
AB The title compds. [I; p = 0-1; X1 = O, NH, S; X2 = O, S, NH, etc.; X3 = N,

L3 ANSWER 89 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
CH, alkyl substituted by alkoxycarbonyl, CH, etc.; R17 = H, alkyl, alkenyl, etc.; R16 = OH, O(alkyl), O(alkenyl), etc.; R10 = CH2NO2, CH2N3, CH2CN, etc.; R11, R13 = H, alkyl; R11 and R13 may be together a simple bond creating a double bond with the carbon atom to which they are attached; R12, R14 = H, alkyl, a simple bond], useful for controlling weeds, were prepd. Thus, treatment of Me 2-(2-tert-butoxycarbonyl-4-chlorophenoxy)-3-hydroxypropenoate with Me2SO4 and K2CO3 in DMF afforded II which showed 100% redn. in the growth of one or more weed species such as Amaranthus retroflexus, Abutilon theophrasti, Galium aparine, etc.

RN'S 1

G1 = naphthyl (opt. substd. by 1 or more G11)
G2 = O
G3 = 17

G4 = loweralkenyl
G35 = 10



Derivative: and agriculturally acceptable salts and metal complexes
Patent location: claim 1
Note: additional substitution also claimed
Note: also incorporates claim 18, formula VI

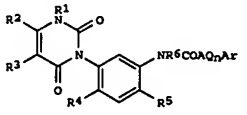
L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:93331 MARPAT
TITLE: Preparation of acylaminophenyluracils as herbicides.
INVENTOR(S): Andree, Roland; Drewes, Mark Wilhelm; Feucht, Dieter; Pontzen, Rolf; Wetcholowsky, Ingo
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19830694	A1	20000113	DE 1998-19830694	19980709
CA 2336771	AA	20000120	CA 1999-2336771	19990707
WO 2000002867	A1	20000120	WO 1999-EP4743	19990707
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9950327	A1	20000201	AU 1999-50327	19990707
AU 767309	B2	20031106		
BR 9911977	A	20010327	BR 1999-11977	19990707
EP 1095028	A1	20010502	EP 1999-934603	19990707
EP 1095028	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002520320	T2	20020709	JP 2000-559098	19990707
CN 1128791	B	20031126	CN 1999-808445	19990707
RU 2225862	C2	20040320	RU 2001-103897	19990707
AT 303996	E	20050915	AT 1999-934603	19990707
US 6617281	B1	20030909	US 2001-743066	20010220

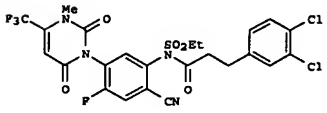
PRIORITY APPLN. INFO.: DE 1998-19830694 19980709
WO 1999-EP4743 19990707

GI

L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



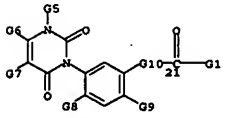
I



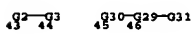
II

AB Title compds. [I; n = 0, 1; A = (substituted) alkylene, cycloalkylene, bond; Ar = (substituted) aryl, aralkyl, heterocyclyl, heterocyclylalkyl; Q
= O, S, SO, SO2, NH, alkylimino; R1 = H, amino, (substituted) alkyl; R2 = CO2H, cyano, carbamoyl, thiocarbamoyl, (substituted) alkyl, alkoxycarbonyl; R3 = H, halo, (substituted) alkyl; R4 = H, cyano, carbamoyl, thiocarbamoyl, halo; R5 = cyano, carbamoyl, thiocarbamoyl, halo, (substituted) alkyl, alkoxy; R6 = H, (substituted) alkyl, alkylcarbonyl, alkoxycarbonyl, alkylsulfonyl, alkenyl, alkynyl, etc.], were prepared as herbicides (no data). Thus, 1-(4-cyano-5-ethylsulfonylamino-2-fluorophenyl)-3-methyl-4-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)pyrimidine, 3-(3,4-dichlorophenyl)propionyl chloride, and Et3N were stirred 3 h in MeCN to give 42% title compound (II). I (n = 1; a
= CH2; Ar = 2,4-dichlorophenyl; Q = O; R1 = Me; R2 = CF3; R3 = H; R4 = F; R5 = cyano; R6 = SO2Et) was said to show very strong herbicidal activity.

RN'S 1



G1 =



L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G2 = 50-21 51-44

G32—G33
50 51

G3 = naphthyl (opt. substd. by 1 or more G22)
G10 = 28

N—G11
28

G11 = alkenylcarbonyl (opt. substd. by 1 or more G19)
G30 = 52-21 53-46

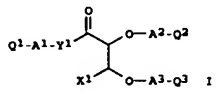
G34—G33
52 53

G32 = alkylene (opt. substd.)
G33 = O
Patent location: claim 1

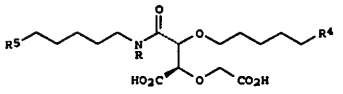
L3 ANSWER 91 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:78549 MARPAT
TITLE: Preparation of tartaric acid derivatives as squalene synthase inhibitors
INVENTOR(S): Usui, Hiroyuki; Kagechika, Katsuji; Nagashima, Hajime; Nagamochi, Masatoshi; Ohta, Masahiro; Yokomizo, Aki; Motoki, Kayoko
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000458	A1	20000106	WO 1999-JP3411	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9943940	A1	20000117	AU 1999-43940	19990625
PRIORITY APPLN. INFO.:			JP 1998-181272	19980626
			WO 1999-JP3411	19990625

GI



I



II

AB 2,3-Dihydroxypropanoic acid compds. represented by general formula [I; X1 represents optionally esterified carboxy, tetrazol-5-yl, P(O)(OH)2, or SO3H; Y1 represents a single bond, O, (un)substituted NH; at least one of A1, A2 and A3 represents a group represented by the following general formula R2-a1-R3-a2→ (wherein R2 represents divalent C2-12 hydrocarbyl; R3 represents a single bond or a divalent C2-12 hydrocarbyl; and a1 and a2 represent each a single bond, S, SO2, SO2NH, O, (un)substituted NH or CONH, CO, etc.); and at least one of Q1, Q2 and Q3 represents cyclic hydrocarbyl or a heterocycle while the remaining one(s) represent hydrogen, optionally esterified carboxy, hydrocarbyl or a heterocycle] or salts are prepd. Because of having a potent inhibitory effect on squalene synthase, these compds. are useful as preventives and/or remedies for hypercholesterolemia, hyperlipemia, and arteriosclerosis. Thus, tert-Bu (2R,3R)-3-carboxy-2-(tert-butoxycarbonylmethoxy)-3-[5-(2-naphthyl)pentyloxy]propanoate (prepn. given) was condensed with 5-(2-naphthyl)pentylamine hydrochloride using 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temp. for 21 h, followed by deprotection, to give L-tartaric acid deriv. (II; R = H, R4 = R5 = 2-naphthyl) (III). III and II (R = Me, R4 = 3,4-dimethylphenyl, R5 = benzothiazol-6-yl) showed IC50 of 0.15 + 10-5 and 0.002 + 10-5 M, resp., for inhibiting the cholesterol synthesis in rat liver cells.

L3 ANSWER 91 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1

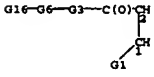
G3 = 16

N—G4
16

G6 = carbon chain <containing 1-12 C> (opt. substd.)
G7 = bond
G9 = 24

O—G7—G16
24

G10 = 2-28 1-29



G16 = naphthyl
Derivative: or salts
Patent location: claim 1
Note: substitution is restricted
Note: interruptions of G6, G7, G8, G11 and G19 also claimed

L3 ANSWER 92 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:64530 MARPAT
TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966925	A1	19991229	WO 1999-US14561	19990624
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335876	AA	19991229	CA 1999-2335876	19990624
AU 9947237	A1	20000110	AU 1999-47237	19990624
EP 1093367	A1	20010425	EP 1999-930779	19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002518444	T2	20020625	JP 2000-555611	19990624
PRIORITY APPLN. INFO.:			US 1998-90493P	19980624
			WO 1999-US14561	19990624

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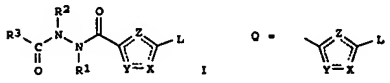
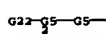


I

AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-[N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl]hydrazide was prepd. via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

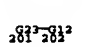
L3 ANSWER 92 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1

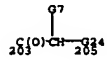


G5 = 126

G6 = alkenyl <containing 2-6 C>
G12 = naphthyl (opt. substd.)
G22 = 201



G23 = 203-2 205-202



G24 = O
Derivative: and pharmaceutically acceptable salts, hydrates and solvates
Patent location: claim 1
Note: additional ring formation also claimed

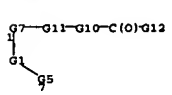
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 93 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:59152 MARPAT
TITLE: Use of a compound having affinity for the benzodiazepine mitochondrial receptor and an apoptosis-inducing agent in cancer therapy
INVENTOR(S): Kroemer, Guido; Hirsch, Tamara; Decaudin, Didier
PATENT ASSIGNEE(S): Centre National De La Recherche Scientifique (Cnrs), Fr.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

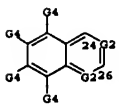
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966958	A2	19991229	WO 1999-FR1383	19990611
WO 9966958	A3	20000420		
W: JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2779963	A1	19991224	FR 1998-7864	19980622
EP 1087790	A2	20010404	EP 1999-923718	19990611
R: DE, FR, GB, IT				
CA 2274741	AA	19991222	CA 1999-2274741	19990614
US 6319931	B1	20011120	US 1999-332152	19990614
AU 9935089	A1	20000106	AU 1999-35089	19990616
PRIORITY APPLN. INFO.:			FR 1998-7864	19980622
			WO 1999-FR1383	19990611

AB A combination product is provided comprising at least a compound having affinity for the benzodiazepine mitochondrial receptor and at least an apoptosis-inducing agent for simultaneous, sep., or sustained use for treating cancer. The invention also concerns the use of the compound and/or the combination product for making a medicine particularly for facilitating apoptosis induction.

MSTR 2



G1 = 26-1 24-7



G2 = CH

L3 ANSWER 93 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G7 = O
G10 = bond
G11 = (0-2) CH2
G12 = 44

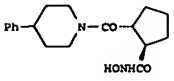
G13 = alkenyl <containing 3-6 C>
Patent location: claim 4
Note: double bond in alkenyl in G13 is not in 1-position
Note: substitution is restricted

L3 ANSWER 94 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:49888 MARPAT
TITLE: Cyclic hydroxamic acids as metalloproteinase inhibitors
INVENTOR(S): Xue, Chu-Biao; Decicco, Carl P.; He, Xiaohua
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965867	A1	19991223	WO 1999-US13723	19990617
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2333554	AA	19991223	CA 1999-2333554	19990617
AU 9946923	A1	20000105	AU 1999-46923	19990617
EP 1087937	A1	20010404	EP 1999-930371	19990617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002518368	T2	20020625	JP 2000-554694	19990617
US 6429213	B1	20020806	US 1999-335086	19990617
US 2003139597	A1	20030724	US 2002-177235	20020620
US 6858626	B2	20050222		

PRIORITY APPLN. INFO.: US 1998-89557P 19980617
US 1999-127599P 19990402
US 1999-335086 19990617
WO 1999-US13723 19990617

GI



I

AB Title cyclic hydroxamic acids were prepared which are useful as metalloprotease inhibitors (no data). Thus, trans-1,2-cyclopentanedicarboxylic acid was amidated with 4-phenylpiperidine and treated with NH2OH to give the hydroxamide I.

MSTR 1B

L3 ANSWER 94 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G3 = 4

G4—G5

G4 = 200-1 201-5 / 260-1 261-5 / 354-1 355-5 / 363-1 364-5

G26—G29 G46—G47 G42—G68 G37—G16
200 201 260 261 354 355 363 364

G5 = quinolinyl (opt. substd.)
G26 = 204-1 205-201

G28—G27
204 205

G27 = carbocycle <containing 3-13 C> (opt. substd.)
G28 = carbon chain <containing 1-10 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
G29 = 232-200 233-5 / 249-200 250-5 / 258-200 259-5

G42—G43 G37—G16
232 233 249 250 258 259

G36 = O
G37 = alkylene <containing 1-4 C>
G38 = 235-232 236-5 / 245-232 246-5

G37—G16 C(O)G41
235 236 245 246

G41 = 247-245 248-5

G37—G16
247 248

G43 = 251-249 252-5

L3 ANSWER 94 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G37—G16
251 252

G47 = 287-260 288-5 / 296-260 297-5

G42—G53 G37—G16
287 288 296 297

G53 = 289-287 290-5

G37—G16
289 290

G68 = 356-354 357-5

G37—G16
356 357

Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional derivatization also claimed
Note: substitution is restricted
Stereochemistry: or stereoisomers

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 95 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:3319 MARPAT
TITLE: Preparation of novel 4-phenylpiperidines for the treatment of pruritic dermatoses
INVENTOR(S): Armer, Richard Edward; Dutton, Christopher James; Gethin, David Morris; Gibson, Stephen Paul; Smith, Julian Duncan; Tommasini, Ivan
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959971	A1	19991125	WO 1999-IB886	19990517
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332538	AA	19991125	CA 1999-2332538	19990517
CA 2332538	C	19991125		
AU 9935312	A1	19991206	AU 1999-35312	19990517
ZA 9903364	A	20001201	ZA 1999-3364	19990517
BR 9910609	A	20010109	BR 1999-10609	19990517
EP 1077940	A1	20010228	EP 1999-917038	19990517
EP 1077940	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002515486	T2	20020528	JP 2000-549590	19990517
AT 271038	E	20040715	AT 1999-917038	19990517
PT 1077940	T	20041029	PT 1999-917038	19990517
ES 2230846	T3	20050501	ES 1999-917038	19990517
US 2003078282	A1	20030424	US 2000-646255	20000511
US 6610711	B2	20030826		

PRIORITY APPLN. INFO.: GB 1998-10671 19980518
WO 1999-IB886 19990517

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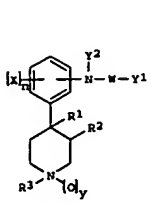
L3 ANSWER 95 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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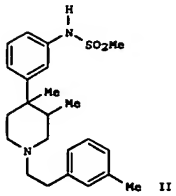
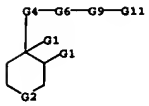


II

AB The title compds. [I; R1, R2 = H, alkyl; R3 = alkyl, alkenyl, alkynyl; W = SO2, CO, P(Y1):O, P(Y1):S; X = H, halo, alkyl, etc.; Y1 = alkyl, NH2, aryl, etc.; Y2 = H, alkyl, alkenyl, etc.; n = 0-2; yr = 0-1] and their pharmaceutically and veterinarily acceptable salts, useful for having utility in the treatment of pruritic dermatoses including allergic dermatitis and atopy in animals and humans, were prepared and formulated. E.g., synthesis of trans-3,4-dimethylpiperidine II which was found to display anti-pruritic activity when tested for its ability to inhibit the hind leg scratching behavior induced in male Wistar rats by the administration of the known pruritogenic agent, was given.

MSTR 1



G2 = 11

G3 = carbon chain <containing 1-10 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G14)
G14 = 34 / 36

L3 ANSWER 95 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G15 = C(O)
G16 = bond
G17 = 39

G18 = alkenyl <containing 3-10 C>
G22 = naphthyl (opt. substd.)
Derivative: and pharmaceutically and veterinarily acceptable salts
Patent location: claim 1
Note: also incorporatea claim 13

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 96 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

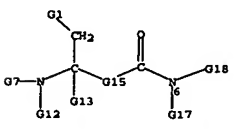
ACCESSION NUMBER: 131:322347 MARPAT
TITLE: Preparation of pentenamides as pharmaceuticals for treatment of cancers, restenosis, and abnormal proliferation
INVENTOR(S): Miyaji, Nobuhide; Suzuki, Mikio; Kitahara, Maki; Kanaki, Tatsuo
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11310568	A2	19991109	JP 1998-120943	19980430

PRIORITY APPLN. INFO.: JP 1998-120943 19980430

AB R2NR3CR4(CH2XR1)CR5R6CR7R8CONR9R10 (R1 = H, (un)substituted C1-12 alkyl, (un)substituted C2-12 alkenyl, (un)substituted C2-10 aliphatic acyl, etc.; R2 = H, (un)substituted C1-6 alkyl, C2-3 aliphatic acyl, cyclopropylcarbonyl, cyclobutylcarbonyl, etc.; R3 = H, Me, Et, benzyl; R4 = H, Me, HOCH2, HSCH2; R5 = H, Me; R6 = H, Me; R6R8 may form bond; R7, R8 = H, Me, Et, Pr, Bu, pentyl, etc; R9 = H, (un)substituted C1-6 alkyl, cyclopropyl, cyclobutyl, cyclopentyl, etc.; R9R11 many form ring; R10 = (un)substituted C4-8 linear alkyl, etc.; X = S, O, etc.) or their salts, useful as pharmaceuticals for treatment and prevention of cancers, reatenoais after PTCA, and abnormal proliferation of arteriosclerotic blood veasel intima smooth muscle cells, are prepared 4-(R)-tert-butoxycarbonylamino-5-triphenylmethylmercapto-2,3-E-pentenoic acid was reacted with 1-benzyl-4-aminopiperidine in the preaence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, 3,4-dihydro-3-hydroxy-4-oxo-1,2,3-benzotriazine, and diisopropylethylamine in dioxane at room temperature for 16 h to give 1-benzyl-4-[4-(R)-tert-butoxycarbonylamino-5-triphenylmethylmercapto-2,3-E-pentenoylamino]piperidine showing in vitro good inhibitory activity of proliferation of human leukemia cell (THP-1).

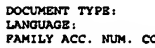
MSTR 1



G15 = alkenylene <containing 2 or more C> (opt. subatd. by 1 or more G16)
G18 = 311

L3 ANSWER 96 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G21 = 321-6 322-312 / 330-6 332-312



G22 = carbon chain <containing 1 or more C, saturated> (opt. substd.)
G23 = naphthyl (opt. substd.)
G24 = 323

G25 = O
Derivative: or salts
Patent location: claim 1

L3 ANSWER 97 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:295568 MARPAT
TITLE: α- and β-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

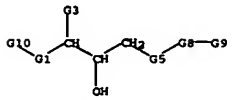
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968942	A	19991019	US 1994-294468	19940823
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6060476	A	20000509	US 1994-204827	19940302
US 6248775	B1	20010619	US 1999-288080	19990408
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2005267171	A1	20051201	US 2005-110943	20050421

PRIORITY APPLN. INFO.: US 1992-934984 19920825
WO 1993-US7814 19930824
US 1994-204827 19940302
EP 1993-923714 19930824
US 1993-110911 19930824
US 1994-294468 19940823
US 1999-288080 19990408
US 2001-798255 20010305
US 2002-157019 20020530
US 2003-633376 20030804

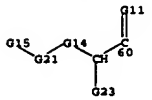
AB α- And β-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level

L3 ANSWER 97 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
are tabulated).

NSTR 1



G10 = 60



G14 = bond
G15 = alkylcarbonyl <containing 1-10 C> (substd. by 42)

G16 = naphthyl
G21 = NH
G23 = alkenyl <containing 2-18 C>
Derivative: or pharmaceutically acceptable salts, prodrugs, or esters
Patent location: claim 1
Note: additional ring formation also claimed
Note: substitution is restricted

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

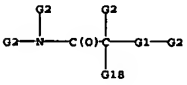
L3 ANSWER 98 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:165332 MARPAT
TITLE: α-Alkoxy- and α-thioalkoxyamide neuropeptide Y NPY5 receptor antagonists and therapeutic methods using them
INVENTOR(S): Connell, Richard D.; Lease, Timothy G.; Ladouceur, Gaetan H.; Osterhout, Martin H.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5939462	A	19990817	US 1998-23351	19980213
US 6245817	B1	20010612	US 1999-295073	19990420

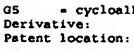
PRIORITY APPLN. INFO.: US 1997-823318P 19970214
US 1998-23351 19980213

AB The invention provides α-alkoxy and α-thioalkoxyamide compns., and methods of administering the compns. to mammals, to treat disorders such as obesity that are mediated by NPY and especially those mediated by NPY via the Y5 receptor.

NSTR 1



G1 = O
G2 = 29 / naphthyl (opt. substd.)



G5 = cycloalkylene <containing 3-10 C>
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 99 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 130:139365 MARPAT
TITLE: Preparation of fused 1,2,4-thiadiazines as openers of the KATP-regulated potassium channels
INVENTOR(S): Nielsen, Flemming Elmedlund; Hansen, John Bondo; Hansen, Holger Claus; Tagmose, Tina Moller; Mogensen, John Patrick
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9903861	A1	19990128	WO 1998-DK288	19980630
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2294830	AA	19990128	CA 1998-2294830	19980630
AU 9881018	A1	19990210	AU 1998-81018	19980630
AU 757693	B2	20030306		
EP 1000066	A1	20000517	EP 1998-930653	19980630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, FI, RO				
BR 9810592	A	20000912	BR 1998-10592	19980630
JP 2001510195	T2	20010731	JP 2000-503085	19980630
RU 2215004	C2	20031027	RU 2000-103491	19980630
ZA 9806326	A	19990503	ZA 1998-6326	19980716
MX 2000000223	A	20001108	MX 2000-223	20000104
NO 2000000185	A	20000114	NO 2000-185	20000114
NO 315470	B1	20030908		
US 6225310	B1	20010501	US 2000-539242	20000330

PRIORITY APPLN. INFO.: DK 1997-872 19970716
DK 1998-368 19980317
DK 1996-41 19960117
DK 1996-250 19960305
DK 1996-251 19960305
DK 1996-252 19960305
DK 1996-253 19960305
DK 1996-256 19960305
DK 1996-259 19960305
DK 1996-903 19960827
US 1997-785438 19970117
US 1998-107693 19980630
WO 1998-DK288 19980630

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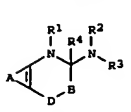
L3 ANSWER 99 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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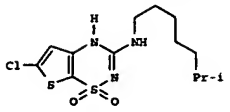
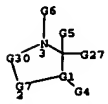


II

AB The title compds. [I; B = NR5, CR5R6 (wherein R5, R6 = H, OH, C1-6 alkoxy, etc.); D = SO2, SO; DB = S(O)(R7):N (wherein R7 = C1-6 alkyl, (un)substituted aryl, heteroaryl); R1 = H, OH, C1-6 alkoxy, etc., and R4 = H; or R1R4 = a bond; R2 = H, OH, C1-6 alkoxy, etc.; R3 = aryl, heteroaryl, arylalkyl, etc.; NR2R3 = 3-12 membered mono- or bicyclic system; A together with carbon atoms to which they are attached = (un)substituted 5-6 membered heterocyclic system containing one or more N, O or S atoms], useful in the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the gastrointestinal system and the endocrinol. system such as hyperinsulinemia and diabetes, were prepared Thus, reaction of 3-amino-5-chlorothiophene-2-sulfonamide hydrochloride with 1-methylheptyl isothiocyanate followed by treatment of the resulting N-(3-amino-5-chloro-2-thienylsulfonyl)-N'-(1-methylheptyl)thiourea with phosgene afforded II which showed EC50 of 2.8 μM for relaxation of rat aorta rings.

MSTR 1A



G8 = alkenyl <containing 2-6 C>
(opt. substd. by 1 or more G3)
G9 = 22

G10 = 14

L3 ANSWER 99 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G11 = naphthyl
G16 = O
G17 = alkyl <containing 1-18 C>
(opt. substd. by 1 or more G10)
G27 = 11

Derivative: or pharmaceutically acceptable acid or base salts, or tautomers
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 25
Stereochemistry: or isomers

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 100 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 130:38712 MARPAT
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

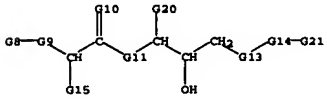
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843946	A	19981201	US 1993-110911	19930824
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 5786483	A	19980728	US 1995-487662	19950607
US 5830897	A	19981103	US 1995-473698	19950607
US 6172082	B1	20010109	US 1995-476788	19950607
US 5744481	A	19980428	US 1997-845392	19970425
US 6248775	B1	20010619	US 1999-288080	19990408
US 6335460	B1	20020101	US 2000-510189	20000222
US 6472407	B1	20021029	US 2000-511005	20000222
US 6534493	B1	20030318	US 2000-694785	20001024
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
PRIORITY APPLN. INFO.:			US 1992-934984	19920825

L3 ANSWER 100 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

	EP 1993-923714	19930824
	US 1993-110911	19930824
	WO 1993-US7814	19930824
	US 1994-204827	19940302
	US 1994-294468	19940823
	WO 1994-US9139	19940823
	US 1995-476788	19950607
	US 1995-485524	19950607
	US 1999-288080	19990408
	US 2001-798255	20010305
	US 2002-157019	20020530

AB Amino acid hydroxyethylamino sulfonamide compds.
P1NHCHR2CH(OH)CH2NR3SO2R4
[P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl, heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl]
were preparation as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1S-(phenylmethyl)propylamine. Protease inhibitory data are tabulated.

MSTR 3



G1 = alkylcarbonyl <containing 1-10 C> (substd. by 29)

G2 = naphthyl
G8 = 2

G9 = bond

L3 ANSWER 100 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G15 = alkenyl <containing 2-18 C>
Derivative: or pharmaceutically acceptable salts, prodrugs, or esters
Patent location: disclosure

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

```
=> d his

     (FILE 'HOME' ENTERED AT 13:37:20 ON 27 MAR 2006)

     FILE 'MARPAT' ENTERED AT 13:37:51 ON 27 MAR 2006
L1              STRUCTURE UPLOADED
L2          171 S L1 FULL
L3          166 S L2/COM

=> s l3 and pesticide
            0 PESTICIDE
L4          0 L3 AND PESTICIDE

=> s fungicide
L5          0 FUNGICIDE

=> d his

     (FILE 'HOME' ENTERED AT 13:37:20 ON 27 MAR 2006)

     FILE 'MARPAT' ENTERED AT 13:37:51 ON 27 MAR 2006
L1              STRUCTURE UPLOADED
L2          171 S L1 FULL
L3          166 S L2/COM
L4            0 S L3 AND PESTICIDE
L5            0 S FUNGICIDE

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     370.38     370.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -36.21     -36.21

STN INTERNATIONAL LOGOFF AT 13:44:19 ON 27 MAR 2006
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

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=> file reg

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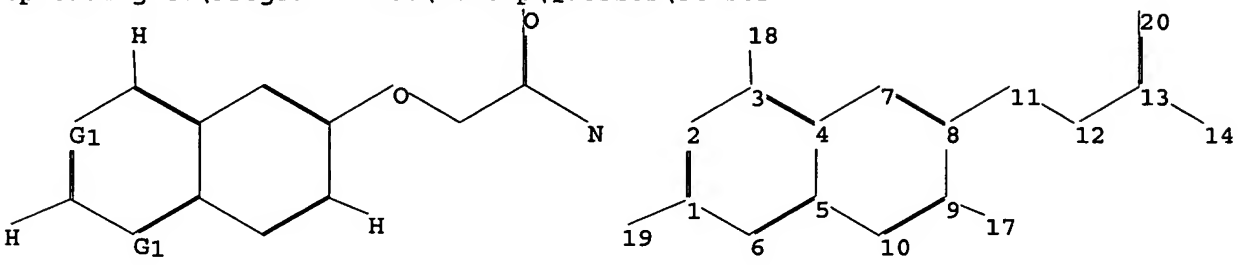


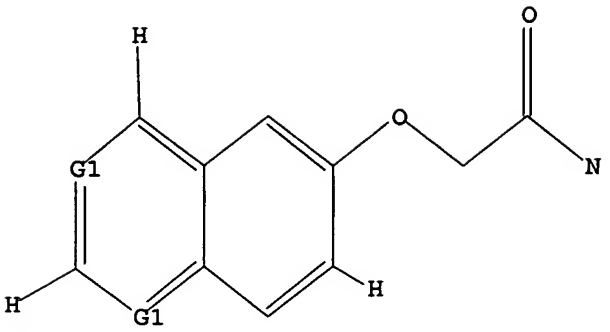
chain nodes :
11 12 13 14 17 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-19 3-18 8-11 9-17 11-12 12-13 13-14 13-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 1-19 2-3 3-4 3-18 4-5 4-7 5-6 5-10 7-8 8-9 8-11 9-10 9-17
11-12 12-13 13-14 13-20
isolated ring systems :
containing 1 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

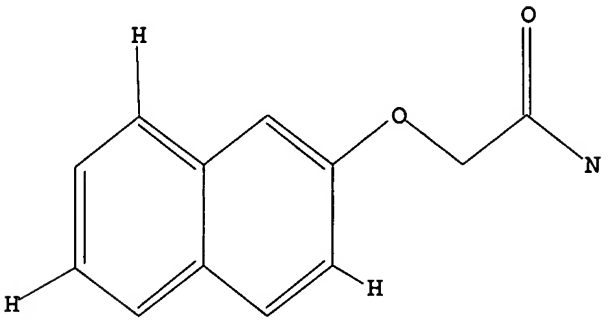
=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d l3
L3 HAS NO ANSWERS
L3 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L4 4783 SEA SSS FUL L1

=> s l3 full
5 4723 SEA SSS FUL L3

=> s l4 not l5
L6 60 L4 NOT L5

=> file ca

=> s l6
L7 18 L6

=> d ibib abs fhitstr 1-18

L7 ANSWER 1 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:192238 CA
TITLE: Preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels
INVENTOR(S): Gonzalez, Jesus E.; Termin, Andreas P.; Martinborough, Esther; Zimmerman, Nicole
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 353 pp., Cont.-in-part of U.S. Ser. No. 914,988.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006025415	A1	20060202	US 2005-60719		20050217
US 2005137190	A1	20050623	US 2004-914988		20040809
PRIORITY APPLN. INFO.:			US 2003-493659P	P	20030808
			US 2004-584717P	P	20040704
			US 2004-914988	A2	20040809

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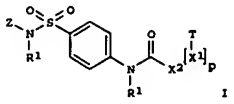

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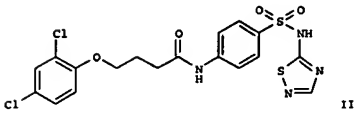
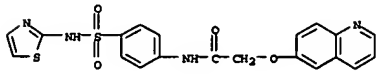


II

AB The title compds. I [R1 = H, (un)substituted alkyl; X1 = O, S, (un)substituted NH; p = 0-1; X2 = (un)substituted alkylene; Z = thiazolyl, imidazolyl, oxazolyl, etc.; T = (un)substituted Ph, 8-14 membered (non)aromatic bicyclic or tricyclic ring having 0-5 heteroatoms selected from O, S, N, NH, SO, SO2, etc.], useful as inhibitors of voltage-gated sodium

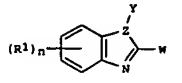
L7 ANSWER 1 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
channels, were prepd. E.g., a multi-step synthesis of II, starting from 2,4-dichlorophenol and Et 4-bromobutyrate, was given. The compds. I were found to inhibit voltage-gated sodium channels at 25.0 μM or less. I were also found possess desired N-type calcium channel modulation activity and selectivity (no data given). The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.
IT 845263-23-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels)
RN 845263-23-2 CA
CN Acetamide, 2-(6-quinolinyloxy)-N-[4-[(2-thiazolylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:463725 CA
TITLE: Preparation of benzimidazoles and related heterocyclic analogs useful as modulators of ion channels
INVENTOR(S): Wilson, Dean M.; Termin, Andreas P.; Gonzalez, Jesus E., III; Zimmermann, Nicole; Zhang, Yulian; Fanning, Lev T. D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: PCT Int. Appl., 258 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005042497	A2	20050512	WO 2004-US36297		20041028
WO 2005042497	A3	20050721			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005209282	A1	20050922	US 2004-977609		20041028
PRIORITY APPLN. INFO.:			US 2003-515088P	P	20031028
			WO 2004-US36297	A	20041028

OTHER SOURCE(S): MARPAT 142:463725
GI



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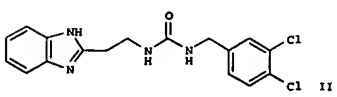
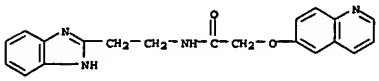


II

L7 ANSWER 2 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [R1 = (un)substituted- alkyl, -aryl, -cycloalkyl, etc.; n = 0-4; Z = O, N, or CH; Y and W independently = alkylarylalkyl, cycloalkylarylalkyl, alkylaryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of ion channels, sodium in particular. Thus, e.g., the triflate salt of II was prepared via reaction of benzimidazole Et amine dihydrochloride (preparation given) with 3,4-dichlorobenzylisocyanate. Selected compds. of the invention were found to modulate voltage-gated sodium channels at 25.0 μM or less.
IT 851702-02-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles and related heterocyclic analogs useful as modulators of ion channels)
RN 851702-02-8 CA
CN Acetamide, N-[2-(1H-benzimidazol-2-yl)ethyl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)



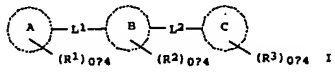
L7 ANSWER 3 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:297864 CA
TITLE: Preparation of aniline derivatives and related compounds as c-kit modulators
INVENTOR(S): Cheng, Wei; Co, Erick Wang; Kim, Moon Hwan; Klein, Rhett Ronald; Le Donna, T.; Lew, Amy; Nuss, John M.; Xu, Wei; Bajjalieh, William
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020921	A2	20050310	WO 2004-US28001	20040827
WO 2005020921	A3	20051006		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-499224P P 20030829

OTHER SOURCE(S): MARPAT 142:297864
GI



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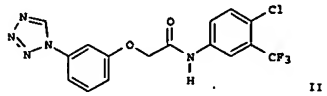
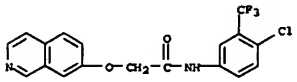


II

AB Compds. I [wherein ring A is a five- to fourteen-membered heteroaryl; R1, R2 and R3 are H, halo, trihalomethyl, cyano, nitro, etc.; L1 is a single bond, (un)substituted alkylene, O, CH2O, etc.; ring B is five- to ten-membered aryl or heterocyclyl; ring C is five- to ten-membered

L7 ANSWER 3 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
(hetero)aryl; L2 is alkylene, alkylidene, alkylidyne, etc.; with some limitations and exclusions, and pharmaceutically acceptable salts, hydrates or prodrugs thereof], as exemplified by carbonyl compds. of anilines, were prepd. as c-Kit kinase modulators. For example, 3-aminophenoxyacetic acid, which was obtained from the corresponding nitro
compd. in 76% yield via catalytic hydrogenation, was treated with HC(OEt)3
and NaN3 in AcOH followed by NaNO2/HCl to give a tetrazole in 61% yield. This acid was coupled with 5-amino-2-chlorobenzotrifluoride in the presence of HATU to afford acetamide II in 46% yield, which showed inhibition against c-Kit kinase with a IC50 of < 50 nM. Therefore, I and pharmaceutical compns. thereof are useful for modulating c-Kit kinase activity and for treating diseases or disorders assocd. with uncontrolled,
abnormal, and/or unwanted cellular activities.
IT 847608-57-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulator; preparation of anilines and related compds. as C-kit modulators)
RN 847608-57-5 CA
CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-(7-isoquinolinyloxy)-(9CI) (CA INDEX NAME)



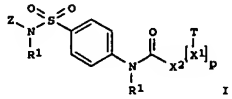
L7 ANSWER 4 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:240421 CA
TITLE: Preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels
INVENTOR(S): Gonzales, Jesus E., III; Termin, Andreas P.; Martinborough, Esther; Zimmerman, Nicole
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 332 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013914	A2	20050217	WO 2004-US25827	20040809
WO 2005013914	A3	20050721		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-493659P P 20030808
US 2004-584717P P 20040704

OTHER SOURCE(S): MARPAT 142:240421
GI



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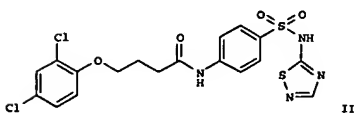
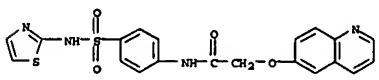


II

AB The title compds. I [R1 = H, (un)substituted alkyl; X1 = O, S,

L7 ANSWER 4 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted NH; p = 0-1; X2 = (un)substituted alkylene; Z = thiazolyl,
imidazolyl, oxazolyl, etc.; T = (un)substituted Ph, 8-14 membered (non)arom. bicyclic or tricyclic ring having 0-5 heteroatoms selected from
O, S, N, NH, SO, SO2, etc.], useful as inhibitors of voltage-gated sodium channels, were prepd. E.g., a multi-step synthesis of II, starting from 2,4-dichlorophenol and Et 4-bromobutyrate, was given. The compds. I were found to inhibit voltage-gated sodium channels at 25.0 μM or less. The invention also provides pharmaceutically acceptable compns. comprising the
compds. I and methods of using the compns. in the treatment of various disorders.
IT 845263-23-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated
sodium channels)
RN 845263-23-2 CA
CN Acetamide, 2-(6-quinolinyloxy)-N-[4-[(2-thiazolylamino)sulfonyl]phenyl]-(9CI) (CA INDEX NAME)

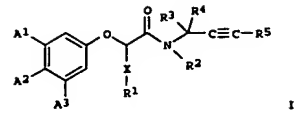


L7 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:55899 CA
TITLE: A preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivatives, useful as agrochemical fungicides
INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger; Sageot, Olivia Anabelle; Bacon, David Philip; Langford, David William
PATENT ASSIGNEE(S): Syngenta Limited, UK
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

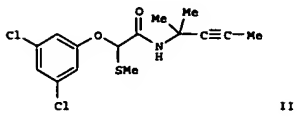
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108663	A1	20041216	WO 2004-GB2294	20040528
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2527313	AA	20041216	CA 2004-2527313	20040528
PRIORITY APPLN. INFO.:			GB 2003-12863 A	20030604
			WO 2004-GB2294 W	20040528

OTHER SOURCE(S): MARPAT 142:55899
GI

L7 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

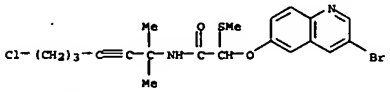


I



II

AB The invention relates to a preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. of formula I [wherein: A1, A2, and A3 are independently selected from H, halogen, (halo)alkyl, (halo)alkenyl, or alkoxy, etc.; R1 is Me or Et; R2 is H, alkyl, alkoxymethyl, or benzyloxymethyl, etc.; R3 and R4 are independently selected from H, alk(en/yn)yl, or together with the carbon atom to which they are attached may form 3-4-membered (hetero)cyclic ring, etc.; R5 is H, (cyclo)alkyl, Ph, or thienyl, etc.; X is S(O)0-2], useful as agrochem. fungicides. For instance, phenoxy(methylthio)acetamide derivative II was prepared via amidation of 2-methylthio-2-(3,5-dichlorophenoxy)acetic acid by 4-amino-4-methyl-pent-2-yne hydrochloride. The prepared compound II gave at least 60% control of the following fungal infection at 200 ppm: plasmapora viticola, phytophthora infestans, and erysiphe graminis f. sp. tritici, etc.
IT 808755-71-7P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. useful as fungicides)
RN 808755-71-7 CA
CN Acetamide, 2-[(3-bromo-6-quinolinyl)oxy]-N-(6-chloro-1,1-dimethyl-2-hexynyl)-2-(methylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

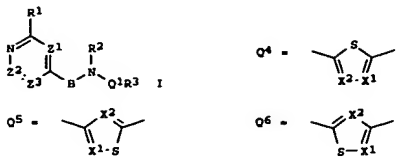
L7 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 6 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:7105 CA
TITLE: Preparation of thienyl- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases
INVENTOR(S): Cao, Jingrong; Gao, Huai; Green, Jeremy; Marhefka, Craig
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

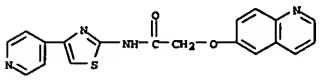
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041813	A1	20040521	WO 2003-US34319	20031030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2504320	AA	20040521	CA 2003-2504320	20031030
AU 2003288956	A1	20040607	AU 2003-288956	20031030
US 2004122016	A1	20040624	US 2003-696862	20031030
EP 1558607	A1	20050803	EP 2003-781448	20031030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NO 2005002595	A	20050627	NO 2005-2595	20050530
PRIORITY APPLN. INFO.:			US 2002-422441P P	20021030
			US 2003-476433P P	20030606
			US 2003-476691P P	20030606
			US 2003-479903P P	20030619
			WO 2003-US34319 W	20031030

OTHER SOURCE(S): MARPAT 141:7105
GI

L7 ANSWER 6 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

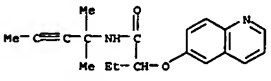


AB Title compds. [I; B = Q4, Q5, Q6; R1 = halo, cyano, NO2, VmR; Z1, Z3 = N, CRz; Z2 = N, CR1; Rz = halo, cyano, NO2, UnR'; R2 = UnR'; X1, X2 = CR4, N;
R4 = halo, cyano, NO2, VmR; U, V = (substituted) alkylidene optionally interrupted by NR, O, S, CS, SO, SO2, CO2, etc.; m, n = 0, 1; R = H, (substituted) aliphatyl; R' = R, (unsatd.) (heterocyclic) mono- or bicyclic ring; Q1 = CO, SO2, CONR, SO2NR; R3 = Q2Ar1; R2Q1R3 = atoms to form a cyclic group; Ar1 = (unsatd.) (heterocyclic) mono- or bicyclic ring; with provisos], were prepared Thus, 2-chloro-N-(4-pyridin-4-ylthiazol-
2-yl)acetamide and N-methylaniline were stirred overnight in DMF at 70° to give 2-(methylphenylamino)-N-(4-pyridin-4-ylthiazol-2-yl)acetamide. Certain I were shown to inhibit ROCK I, ERK2, GSK3, and PKA
with Ki <1 μM.
IT 692875-51-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of thiophene- and thiazolecarboxamides as
inhibitors of ROCK, ERK, GSK, and AGC protein kinases)
RN 692875-51-7 CA
CN Acetamide, N-[4-(4-pyridinyl)-2-thiazolyl]-2-(6-quinolinyloxy)- (9CI) (CA
INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 7 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, alkoxymethyl
or (phenyl)benzyloxymethyl; R3,R4 = H alkyl, alkenyl or alkynyl; R3R4 = (un)substituted carbocyclyl, optionally contg. O, S or N heteroatoms; R5 =
H, (un)substituted (cyclo)alkyl, etc.] are prepd. as fungicides.
IT 696609-21-9P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as fungicide)
RN 696609-21-9 CA
CN Butanamide, N-(1,1-dimethyl-2-butynyl)-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)

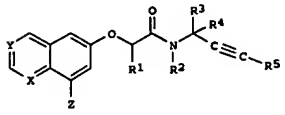


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 7 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:2846 CA
TITLE: Preparation of quinoline-, isoquinoline-, and quinazolinoxyalkylamides as fungicides
INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger
PATENT ASSIGNEE(S): Syngenta Limited, UK
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004047538	A1	20040610	WO 2003-GB4631	20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502183	AA	20040610	CA 2003-2502183	20031027
AU 2003276400	A1	20040618	AU 2003-276400	20031027
EP 1567010	A1	20050831	EP 2003-811792	20031027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016496	A	20051011	BR 2003-16496	20031027
JP 2006507339	T2	20060302	JP 2004-554637	20031027
US 2006019973	A1	20060126	US 2005-536475	20050525
PRIORITY APPLN. INFO.:			GB 2002-27555 A	20021126
			WO 2003-GB4631 W	20031027

OTHER SOURCE(S): MARPAT 141:2846
GI



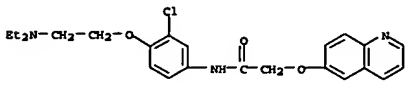
AB The title compds. I [one of X and Y is N or N oxide and the other is CR or
both of X and Y are N; Z = H, halo, (halo)alkyl, etc.; R1 =

L7 ANSWER 8 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:406638 CA
TITLE: Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.
INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R.
H.; Lenter, Martin; Wieland, Heike-Andrea
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.
SOURCE: PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039764	A1	20040513	WO 2003-EP11933	20031028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10250743	A1	20040519	DE 2002-10250743	20021031
CA 2504207	AA	20040513	CA 2003-2504207	20031028
AU 2003285306	A1	20040525	AU 2003-285306	20031028
EP 1558567	A1	20050803	EP 2003-778292	20031028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015797	A	20050913	BR 2003-15797	20031028
JP 2006504761	T2	20060209	JP 2004-547576	20031028
US 2004152742	A1	20040805	US 2003-699089	20031031
NO 2005000745	A	20050523	NO 2005-745	20050211
PRIORITY APPLN. INFO.:			DE 2002-10250743 A	20021031
			US 2003-456482P P	20030321
			WO 2003-EP11933 W	20031028

OTHER SOURCE(S): MARPAT 140:406638
AB R1R2NXYZNR3COMABb [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N,
CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, CO, imino; W = CR6aR6bO, CR7a:CR7c, etc.; Z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b =
H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific

L7 ANSWER 8 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
exceptions), were prepd. for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 78% Me
[2-[2-chloro-4-[2-(2,4-dichlorophenoxy)acetylamino]phenoxy]ethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.
IT 689301-51-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylamides as melanin concentrating hormone (MCH) receptor
antagonists)
RN 689301-51-7 CA
CN Acetamide, N-[3-chloro-4-[2-(diethylamino)ethoxy]phenyl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)



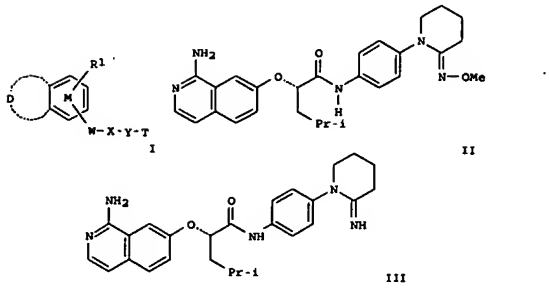
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:323430 CA
TITLE: Preparation of 2-iminopyrrolidines and related compounds as blood-coagulation factor Xa and VIIa inhibitors for the treatment of tumors and thromboembolic diseases
INVENTOR(S): Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Barnes, Christopher; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084533	A1	20031016	WO 2003-EP2349	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10214832	A1	20031016	DE 2002-10214832	20020404
CA 2481026	AA	20031016	CA 2003-2481026	20030307
AU 2003214102	A1	20031020	AU 2003-214102	20030307
EP 1490056	A1	20041229	EP 2003-709758	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005176760	A1	20050811	US 2003-510046	20030307
JP 2005528377	T2	20050922	JP 2003-581773	20030307
PRIORITY APPLN. INFO.:			DE 2002-10214832 A	20020404
			WO 2003-EP2349 W	20030307

OTHER SOURCE(S): MARPAT 139:323430
GI

L7 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

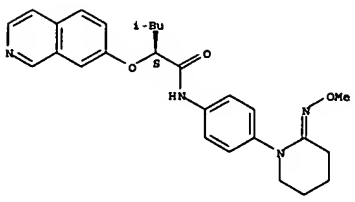


I II III

AB Title compds. I [D = (un)saturated 3-4 membered alkylene (sic) with provisos;
M = Ph, aromatic heterocycle containing 1-2 N, O, or S atoms; R1 = H, halo, A,
etc.; A = (un)substituted alkyl; W = C(R2)2, [(CR2)2]2, OC(R2)2, etc.; R2 = H, A, [C(R3)2]n-Ar, etc.; R3 = H, A; Ar = (un)substituted aryl, e.g., halo, A, OR3, etc.; X = CONR2, CONR2C(R3)2, C(R3)2NR3, etc.; Y = alkylene,
cycloalkylene, Het-diyl (sic), etc.; T = (un)substituted aromatic, heteroarom.; n = 0-2] and their pharmaceutically acceptable salts and formulations were prepared For example, Raney-Ni mediated reduction of hydroxyoxime II, e.g., prepared from 7-isoquinolinol in 4-steps, afforded the diacetate salt of 2-iminopiperidine III. In coagulation factor Xa receptor affinity assays, 5-examples of compds. I exhibited IC50 values ranging from 2.7-0.058 μM, e.g., the IC50 value of 2-iminopiperidine III diacetate was 2.7 μM. Compds. I are claimed useful as antithrombotic and antitumor agents.
IT 612841-36-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-iminopyrrolidines and related compds. as
blood-coagulation factor Xa and VIIa inhibitors for the treatment of tumors and thromboembolic diseases)
RN 612841-36-8 CA
CN Pentanamide, 2-(7-isoquinolinyloxy)-N-[4-[2-(methoxyimino)-1-piperidinyl]phenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L7 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

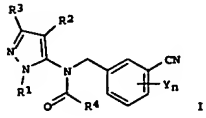


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 10 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:292249 CA
TITLE: Preparation of 5-(m-cyanobenzylamino)pyrazole derivs. as agricultural fungicides
INVENTOR(S): Ito, Hiroyuki; Imai, Tsuneaki; Takada, Takeshi; Tanaka, Harukazu; Onishi, Toru
PATENT ASSIGNEE(S): Sankyo Agro Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 104 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003286117	A2	20031007	JP 2003-11735	20030121
PRIORITY APPLN. INFO.:			JP 2002-13639 A	20020123

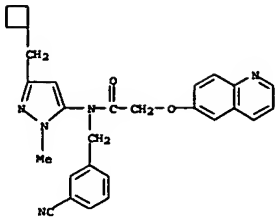
OTHER SOURCE(S): MARPAT 139:292249
GI



I

AB Title compds. I (R1 = alkyl, cycloalkyl, Ph; R2 = H, alkyl; R3 = alkyl, etc.; R4 = H, halo, alkyl, etc.; Y = alkyl, etc; n = 0-4), useful as agricultural fungicides, are prepared Thus, N-acylation of 5-amino-3-(cyclobutylmethyl)-1-methyl-1H-pyrazole with methoxyacetyl chloride followed by N-alkylation with m-cyanobenzyl bromide gave N-(3-cyanobenzyl)-N-[3-(cyclobutylmethyl)-1-methyl-1H-pyrazol-5-yl]-2-methoxyacetamide(II). II showed fungicidal activity against Phytophthora infestans at 300 ppm.
IT 393577-46-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of 5-(m-cyanobenzylamino)pyrazole derivs. as agricultural fungicides)
RN 393577-46-3 CA
CN Acetamide, N-[(3-cyanophenyl)methyl]-N-[3-(cyclobutylmethyl)-1-methyl-1H-pyrazol-5-yl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)

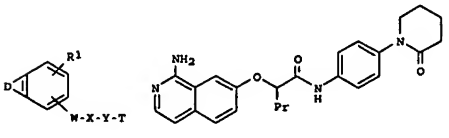
L7 ANSWER 10 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



L7 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:187647 CA
TITLE: Preparation of phenyl derivatives as coagulation factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013531	A1	20030220	WO 2002-EP7798	20020712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10139060	A1	20030220	DE 2001-10139060	20010808
CA 2456717	AA	20030220	CA 2002-2456717	20020712
EP 1414456	A1	20040506	EP 2002-760242	20020712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011737	A	20040928	BR 2002-11737	20020712
CN 1538845	A	20041020	CN 2002-815482	20020712
JP 2005501075	T2	20050113	JP 2003-518540	20020712
US 2004235828	A1	20041125	US 2004-486238	20040209
ZA 2004001800	A	20050204	ZA 2004-1800	20040304
PRIORITY APPLN. INFO.:			DE 2001-10139060 A	20010808
			WO 2002-EP7798 W	20020712

OTHER SOURCE(S): CASREACT 138:187647; MARPAT 138:187647
GI

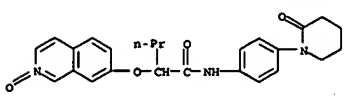


I

II

L7 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

AB Novel Ph compds. I [D = (un)saturated 3 - 4 alkylene chain, containing 1 - 2 N, O
and/or S (may be substituted with halogen, A, {C(R3)2}n-Ar, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA}; W = C(R3)2, {C(R3)2}2, OC(R3)2, NR2C(R3)2; X = CONR2, CONR2C(R3)2, C(R3)2NR2, C(R3)2NR2C(R3)2; Y = alkylene, cycloalklylene, Het-diyl, Ar-diyl; T = (un)substituted heterocycle containing 1 - 4 of N, O and/or S; A = (un)branched C1-6-alkyl
{may contain O, S, CH:CH or substituted with 1 - 7 F}; R1 = H, halogen, A,
OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R2 = H, A, {C(R3)2}nAr, {C(R3)2}n-Het, {C(R3)2}n-cycloalkyl; R3 = H, A; Ar = (un)substituted Ph, naphthyl, biphenyl {may be substituted with halogen, A, OR3, N(R3)2, NO2, CN, CO2R3,
CON(R3)2, NR3COA, NR3CON(R3)2, NR3SO2A, COR3, SO2N(R3)2, SOmA}; Het = (un)saturated or aromatic heterocycle (containing 1 - 4 N, O and/or S and may be
substituted with halogen, A, {C(R3)2}n-Het1, {C(R3)2}n-cycloalkyl, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); Het1 = (un)saturated or aromatic heterocycle {containing 1 - 2 N, O
and/or S and may be substituted with halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA}; halogen = Cl Br, F, I; n = 0 - 2; m = 0 - 2] are claimed. I and their pharmaceutically acceptable derivs., solvates, stereoisomers and their mixts., are inhibitors of coagulation factor Xa and can be used in the prophylaxis and/or therapy of thromboembolic diseases and in the treatment
of tumors. Thus isoquinoline II was prepared from 7-hydroxyisoquinoline via
O-alkylation with Me(CH2)2CHBrCO2Et, saponification, amidation with 1-(4-aminophenyl)piperidin-2-one, isoquinoline N-oxidation, isoquinoline N-oxide amination with pyridine, and reaction with ethanolamine. II was tested for thrombin receptor binding ability [IC50 = 3.5 x 10-7 M vs. FXa;
IC50 = 2.2 x 10-7 M vs. TF]. I was used in the preparation of drug formulations (injections, suppositories, solns., solvates, tablets, etc.).
IT 498541-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of, with pyridine; preparation of bicyclic benzene
derivs. as coagulation factor Xa inhibitors)
RN 498541-47-2 CA
CN Pentanamide, 2-[(2-oxido-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

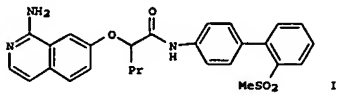


L7 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:263103 CA
TITLE: Biphenyl-substituted aminoquinolines and -isoquinolines as factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024654	A1	20020328	WO 2001-EP10786	20010918
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10046272	A1	20020328	DE 2000-10046272	20000919
CA 2422067	AA	20030312	CA 2001-2422067	20010918
EP 1322618	A1	20030702	EP 2001-985251	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513888	T2	20040513	JP 2002-529067	20010918
PRIORITY APPLN. INFO.:			DE 2000-10046272	A 20000919
			WO 2001-EP10786	W 20010918

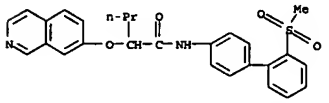
OTHER SOURCE(S): MARPAT 136:263103
GI



I

AB The title compds. were prepared for use as inhibitors of blood coagulation factors Xa and VIIa (no data). Thus, 7-isoquinolinol was treated with BrCHPrCO2CMe3, followed by ester hydrolysis, amidation with 2-MeSO2C6H4C6H4NH2-4, N-oxidation, reaction with pyridine, and treatment with ethanolamine to give the title compound I.
IT 405272-00-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl-substituted aminoquinolines and -isoquinolines as

L7 ANSWER 12 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
factor Xa inhibitors)
RN 405272-00-6 CA
CN Pentanamide, 2-(7-isoquinolinyloxy)-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

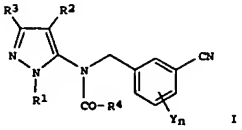


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:134759 CA
TITLE: Preparation of 5-(m-cyanobenzylamino)pyrazole derivatives as fungicides for agricultural and horticultural use
INVENTOR(S): Ito, Hiroyuki; Imai, Chiaki; Takada, Takeshi; Tanaka, Harukazu; Ohnishi, Tohru
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 251 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008196	A1	20020131	WO 2001-JP6346	20010723
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001072781	A5	20020205	AU 2001-72781	20010723
EP 1304325	A1	20030423	EP 2001-951975	20010723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002220376	A2	20020809	JP 2001-222419	20010724
TW 220899	B1	20040911	TW 2001-90118150	20010725
PRIORITY APPLN. INFO.:			JP 2000-223651	A 20000725
			WO 2001-JP6346	W 20010723

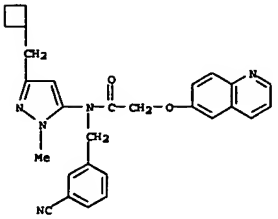
OTHER SOURCE(S): MARPAT 136:134759
GI



I

AB Title compds. [I; R1 = C1-6 alkyl, C3-7 cycloalkyl, phenyl; R2 = H, C1-6 alkyl; R3 = C1-6 alkyl; R4 = H, halogeno, C1-6 alkyl; Y = C1-6 alkyl; n = 0, 1, 2, 3, 4] and salts thereof are prepared and tested as fungicides for agricultural and horticultural use. Thus, the title compound I (R1 = CH3; R2 = H; R3 = cyclobutylmethyl; R4 = CH2OCH3; Y = H; n = 4) was prepared from

L7 ANSWER 13 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
5-amino-3-(chlobutylmethyl)-1-methyl-1H-pyrazole, methoxyacetyl chloride,
and 3-cyanobenzyl bromide in two steps.
IT 393577-46-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of cyanobenzylaminopyrazole derive. as fungicides for agricultural and horticultural use)
RN 393577-46-3 CA
CN Acetamide, N-[(3-cyanophenyl)methyl]-N-[3-(cyclobutylmethyl)-1-methyl-1H-pyrazol-5-yl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)

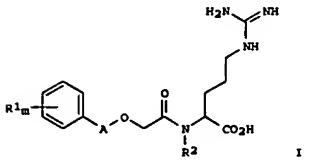


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 14 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:180866 CA
TITLE: Preparation of acylarginine derivatives as C3A receptor ligands
INVENTOR(S): Lee, Dennis; Bondinell, William E.; Jurewicz, Anthony J.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009129	A1	20000224	WO 1999-US18256	19990811
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CZ, DM, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2340053	AA	20000224	CA 1999-2340053	19990811
AU 9954785	A1	20000306	AU 1999-54785	19990811
EP 1119357	A1	20010801	EP 1999-941061	19990811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522497	T2	20020723	JP 2000-564632	19990811
US 6489339	B1	20021203	US 2001-762459	20010207
PRIORITY APPLN. INFO.:			US 1998-96055P P	19980811
			WO 1999-US18256 W	19990811

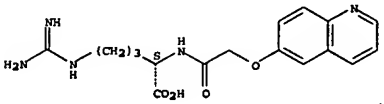
OTHER SOURCE(S): MARPAT 132:180866
GI



AB Acylarginine derive. I [A = alkylene or alkyl- or arylalkylene or forms a

L7 ANSWER 14 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
5-8 membered fused aliph. ring with the adjacent Ph ring; m = 1-3; R1 = halo, alkyl, methanesulfonyl, alkoxy, cyano, dimethylamino, methylenedioxy, CF3; R2 = H, Me] (S-configuration) were prepd. as novel C3A ligands. Methods of using the compds. to treat immune and inflammation disease are also provided. Thus,
2-naphthyloxyacetylarginine
was prepd. by reactions of resin-bound Fmoc-Arg(Boc)2-OH with bromoacetic acid, and 2-naphthol.
IT 259218-33-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylarginine derivs. as C3A receptor ligands)
RN 259218-33-2 CA
CN L-Arginine, N2-[(6-quinolinyloxy)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



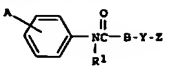
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:137396 CA
TITLE: Phenylazole compounds, process for producing the same and drugs for hyperlipemia
INVENTOR(S): Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006550	A1	20000210	WO 1999-JP4070	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339123	AA	20000210	CA 1999-2339123	19990729
AU 9949297	A1	20000221	AU 1999-49297	19990729
AU 753360	B2	20021017		
EP 1101759	A1	20010523	EP 1999-933152	19990729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1131217	B	20031217	CN 1999-809019	19990729
JP 2000290280	A2	20001017	JP 1999-216581	19990730
JP 2000281656	A2	20001010	JP 1999-221789	19990804
JP 2000281658	A2	20001010	JP 1999-221790	19990804
US 6342516	B1	20020129	US 2001-744786	20010126
PRIORITY APPLN. INFO.:			JP 1998-218316 A	19980731
			JP 1998-222157 A	19980805
			JP 1999-16846 A	19990126
			JP 1999-19670 A	19990128
			JP 1999-24318 A	19990201
			WO 1999-JP4070 W	19990729

OTHER SOURCE(S): MARPAT 132:137396
GI

L7 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



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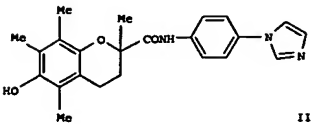

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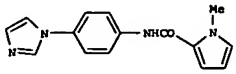


III

AB Phenylpyrazole and phenylimidazole compds. represented by general formula (I; wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH2)k or (CH:CH)k; Y = bond, O, S, SO2, CO, OCH2, C1-5 alkyl-(un)substituted NHCO or NH; Z = (un)substituted and saturated or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts thereof are prepared Claimed are drugs for hyperlipemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g, and 2.5 mL Et3N were added to 30 mL DMF and stirred at room temperature for 20 h to give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-pyrrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared

IT **256661-89-9P**

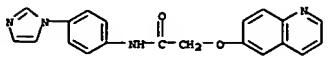
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

L7 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

RN 256661-89-9 CA

CN Acetamide, N-[4-(1H-imidazol-1-yl)phenyl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 16 OF 18 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 130:168238 CA

TITLE: 2-acylaminopropanamines as tachykinin receptor antagonists

INVENTOR(S): Fritz, James Erwin; Hipskind, Philip Arthur; Kaldor, Stephen Warren; Lobb, Karen Lynn; Nixon, James Arthur

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

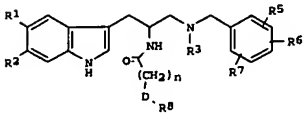
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907681	A1	19990218	WO 1998-US16313	19980806
W: AL, AM, AT, AU, AZ, BB, GB, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2298702	AA	19990218	CA 1998-2298702	19980806
AU 9886926	A1	19990301	AU 1998-86926	19980806
EP 1003723	A1	20000531	EP 1998-938395	19980806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
TR 200000287	T2	20000721	TR 2000-200000287	19980806
BR 9811819	A	20000815	BR 1998-11819	19980806
JP 2001512717	T2	20010828	JP 2000-506185	19980806
US 6339094	B1	20020115	US 2000-463640	20000127
NO 2000000518	A	20000331	NO 2000-518	20000201
HR 2000000066	A1	20001031	HR 2000-66	20000204
PRIORITY APPLN. INFO.:			US 1997-55105P P	19970806
			WO 1998-US16313 W	19980806

OTHER SOURCE(S): MARPAT 130:168238

GI



I

AB Title compds. [I; R1 and R2 are independently hydrogen, halo, alkyl, hydroxy, alkoxy; R3 is hydrogen, acetyl; alkanoyl, glycyl, dimethylglycyl;

L7 ANSWER 16 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

R5, R6, R7 are independently hydrogen, halo, alkyl alkoxy, trifluoromethyl hydroxy; n is 1-6; D is S(O)m, NH, O; m is 0, 1, 2; R8 is a monocyclic or bicyclic carbocyclic or heterocyclic group, optionally substituted with one or more moieties from the group consisting of oxo, alkyl, alkoxy, hydroxy, halo, and trifluoromethyl], or a pharmaceutically acceptable salt or solvate are prepd. in the presence of isocyanate resin polymer-bound coupling reagent 1-(3-dimethylaminoproyl)-3-propylcarbodiimide hydrochloride as tachykinin receptor antagonists and methods of treatment, pharmaceutical formulations are provided. Thus, (R)-I (R1 = H; R2 = H; R5 = 2-OMe; R6 = H; R7 = H; R8 = Br; D = electron pair; n = 1; R3 = Ac) were prepd.

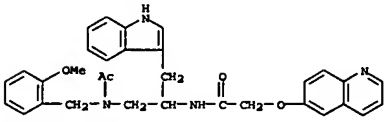
IT **220441-64-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylaminopropanamines as tachykinin receptor antagonists)

RN 220441-64-5 CA

CN Acetamide, N-[3-(1H-indol-3-yl)-2-[[(6-quinolinyloxy)acetyl]amino]propyl]-N-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

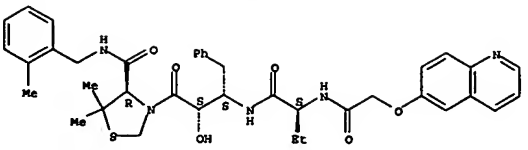
L7 ANSWER 17 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:117828 CA
TITLE: Novel tripeptide compounds and anti-AIDS drugs
INVENTOR(S): Takaku, Haruo; Nojima, Satoshi; Mimoto, Tsutomu; Terashima, Keisuke; Kiso, Yoshiaki
PATENT ASSIGNEE(S): Japan Energy Corp., Japan
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829118	A1	19980709	WO 1997-JP4734	19971222
W: AU, CA, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2249747	AA	19980709	CA 1997-2249747	19971222
AU 9878885	A1	19980731	AU 1998-78885	19971222
AU 721578	B2	20000706		
EP 900566	A1	19990310	EP 1997-949191	19971222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
ZA 9711584	A	19980624	ZA 1997-11584	19971223
NO 9804284	A	19990826	NO 1998-4284	19980916
US 6291432	B1	20010918	US 1999-155773	19990216
PRIORITY APPLN. INFO.:			JP 1996-359226 A	19961227
			JP 1997-150520 A	19970523
			WO 1997-JP4734 W	19971222

OTHER SOURCE(S): MARPAT 129:117828
AB Novel tripeptide compds. having excellent HIV protease inhibitory activities and represented by general formula (I; Markush's structures given), pharmacol. acceptable salts thereof, and anti-AIDS drugs containing the same as the active ingredient. An example of the compds. is (R)-N-(2-methylbenzyl)-3-[(2S, 3S)-3-[N-(2-chromanecarbonyl)-L-asparaginyl]amino-2-hydroxy-4-phenylbutanoyl]-5, 5-dimethyl-1,3-thiazolidine-4-carboxamide.
IT 210181-08-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel tripeptide compds. and anti-AIDS drugs)
RN 210181-08-1 CA
CN 4-Thiazolidinecarboxamide, 3-[(2S,3S)-2-hydroxy-1-oxo-3-[[(2S)-1-oxo-2-[[(6-quinolinyloxy)acetyl]amino]butyl]amino]-4-phenylbutyl]-5,5-dimethyl-N-[(2-methylphenyl)methyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 17 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

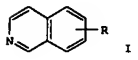


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 18 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 96:19985 CA
TITLE: Isoquinoline derivatives
INVENTOR(S): Barnish, Ian Thompson; Cross, Peter Edward; Dickinson, Roger Peter
PATENT ASSIGNEE(S): Pfizer Ltd., UK
SOURCE: Brit. UK Pat. Appl., 18 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

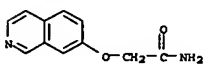
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2065121	A	19810624	GB 1980-39322	19801208
PRIORITY APPLN. INFO.:			GB 1979-43041 A	19791213

OTHER SOURCE(S): CASREACT 96:19985
GI



I

AB Isoquinoline derivs. I [R = 5-, 6-, 7-, 8-CH2OC6H4R1 [R1 = CO2R2 (R2 = H, C1-4 alkyl), CONHR3 (R3 = H, C1-4 alkyl, C2-4 alkanoyl, aroyl, C1-4 alkylsulfonyl, arylsulfonyl, aryl, aralkyl, 5- or 6-membered aromatic heterocyclyl optionally substituted by 1 or 2 C1-4 alkyl, C1-4 alkoxy, halo, CF3), CONR42 (R4 = C1-4 alkyl, NR42 = pyrrolidino, piperidino), NHR5 (R5 = H, C1-4 alkyl, C2-4 alkanoyl, C1-4 alkylsulfonyl, C1-4 alkoxycarbonyl; NHCONHR6 (R6 = C1-4 alkyl, aryl), CN, 5-tetrazolyl, 5-oxo-2-pyrazolin-1-yl, 3-methyl-5-oxo-2-pyrazolin-1-yl]; R = 5-, 6-, 7-, 8-OZR1 [Z = (CH2)n (n = 1-4), C6H4, CH2C6H4, CH2Z1 (Z1 = C-linked 5- or 6-membered aromatic heterocyclylidene); R1 as before]] were prepared I selectively inhibit thromboxane synthetase without significantly inhibiting prostacyclin synthetase or cyclooxygenase. I are thus useful in the treatment of thrombosis, ischemic heart disease, stroke, transient ischemic attack, migraine, and the vascular complications of diabetes. E.g., I [R = 5-(CH2)2CN] was prepared by treating I [R = 5-OH] with CH2:CHCN in the presence of PhCH2N+Me3 OH- (EtOH, reflux, 16 h).
IT 80278-49-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thromboxane A2 synthetase inhibitor)
RN 80278-49-5 CA
CN Acetamide, 2-(7-isoquinolinyloxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 18 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

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L2           50 S L1 SAM
L3              STRUCTURE UPLOADED
L4         4783 S L1 FULL
L5         4723 S L3 FULL
L6           60 S L4 NOT L5

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L7           18 S L6

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---Logging off of STN---


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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 13:32:21 ON 27 MAR 2006
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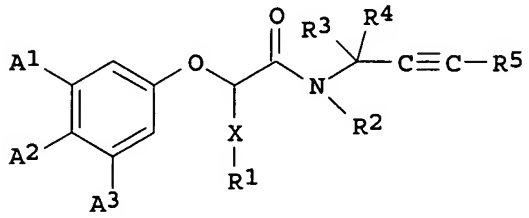
ACCESSION NUMBER: 142:55899 CA
TITLE: A preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivatives, useful as agrochemical fungicides
INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger; Sageot, Olivia Anabelle; Bacon, David Philip; Langford, David William
PATENT ASSIGNEE(S): Syngenta Limited, UK
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108663	A1	20041216	WO 2004-GB2294	20040528
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2527313	AA	20041216	CA 2004-2527313	20040528

PRIORITY APPLN. INFO.: GB 2003-12863 A 20030604
WO 2004-GB2294 W 20040528

OTHER SOURCE(S): MARPAT 142:55899

GI



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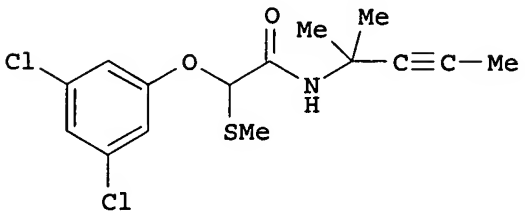


II

AB The invention relates to a preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. of formula I [wherein: A1, A2, and A3 are

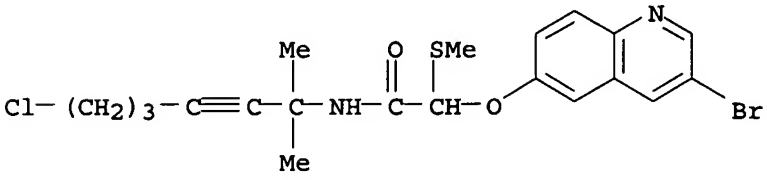
independently selected from H, halogen, (halo)alkyl, (halo)alkenyl, or alkoxy, etc.; R1 is Me or Et; R2 is H, alkyl, alkoxymethyl, or benzyloxymethyl, etc.; R3 and R4 are independently selected from H, alk(en/yn)yl, or together with the carbon atom to which they are attached may form 3-4-membered (hetero)cyclic ring, etc.; R5 is H, (cyclo)alkyl, Ph, or thienyl, etc.; X is S(O)0-2], useful as agrochem. fungicides. For instance, phenoxy(methylthio)acetamide derivative II was prepared via amidation of 2-methylthio-2-(3,5-dichlorophenoxy)acetic acid by 4-amino-4-methyl-pent-2-yne hydrochloride. The prepared compound II gave at least 60% control of the following fungal infection at 200 ppm: plasmapora viticola, phytophthora infestans, and erysiphe graminis f. sp. tritici, etc.

IT **808755-71-7P**

RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. useful as fungicides)

RN 808755-71-7 CA

CN Acetamide, 2-[(3-bromo-6-quinolinyl)oxy]-N-(6-chloro-1,1-dimethyl-2-hexynyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

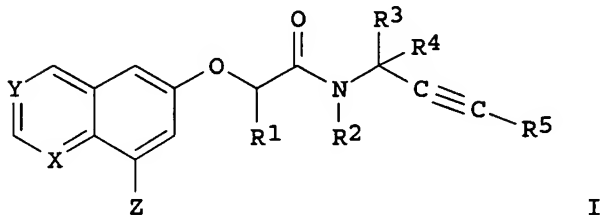


ACCESSION NUMBER: 141:2846 CA
TITLE: Preparation of quinoline-, isoquinoline-, and quinazolinoxyalkylamides as fungicides
INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger
PATENT ASSIGNEE(S): Syngenta Limited, UK
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004047538	A1	20040610	WO 2003-GB4631	20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502183	AA	20040610	CA 2003-2502183	20031027
AU 2003276400	A1	20040618	AU 2003-276400	20031027
EP 1567010	A1	20050831	EP 2003-811792	20031027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016496	A	20051011	BR 2003-16496	20031027
JP 2006507339	T2	20060302	JP 2004-554637	20031027
US 2006019973	A1	20060126	US 2005-536475	20050525

PRIORITY APPLN. INFO.: GB 2002-27555 A 20021126
WO 2003-GB4631 W 20031027

OTHER SOURCE(S): MARPAT 141:2846
GI



I

AB The title compds. I [one of X and Y is N or N oxide and the other is CR or both of X and Y are N; Z = H, halo, (halo)alkyl, etc.; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, alkoxymethyl or (phenyl)benzyloxymethyl; R3,R4 = H alkyl, alkenyl or alkynyl; R3R4 = (un)substituted carbocyclyl, optionally containing O, S or N heteroatoms; R5 = H, (un)substitued (cyclo)alkyl, etc.] are prepared as fungicides.

IT **696609-21-9P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(preparation as fungicide)

RN 696609-21-9 CA

CN Butanamide, N-(1,1-dimethyl-2-butynyl)-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)